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(54) **Title:** NEW COMPOUNDS AS ANTIBACTERIAL AGENTS

(57) **Abstract:** The present invention provides novel compounds of the general formula (I), their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their hydrates, their solvates, their pharmaceutically acceptable salts and pharmaceutically acceptable compositions containing them. The present invention more particularly provides novel oxazolidinone derivatives of the general formula (I).

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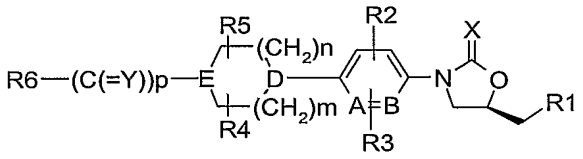
NEW COMPOUNDS AS ANTIBACTERIAL AGENTS

Field of the Invention

The present invention provides novel compounds of the general formula (I), their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their hydrates, their solvates, their pharmaceutically acceptable salts and pharmaceutically acceptable compositions containing them. The present invention more particularly provides novel oxazolidinone derivatives of the general formula (I).



(I)

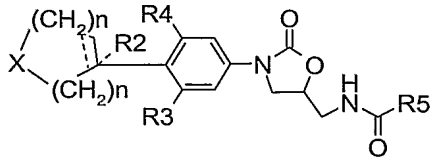
The present invention also provides a process for the preparation of the above said novel oxazolidinone derivatives of the formula (I) their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their hydrates, their solvates, their pharmaceutically acceptable salts, and pharmaceutical compositions containing them.

The novel oxazolidinone derivatives of the present invention are useful as antibacterial agents and hence are useful in the treatment of conditions such as nosocomial pneumoniae, community acquired pneumoniae, vancomycin resistance enterococci (VRE) caused by methicillin resistance staphylococcus aureus (MRSA) and penicillin resistance streptococcus pneumoniae. The compounds of the present invention are effective against a number of human or animal pathogens, clinical isolates, including Vancomycin resistant organisms, methicillin resistant organisms.

Background of Invention

Several oxazolidinone derivatives have been reported in the literature some of which relevant are given here:

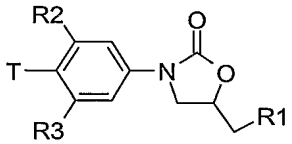
International publication number 97/09328 discloses and claims compounds of formula



(II)

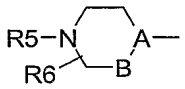
in which X is NR^1, $S(O)_g$ or O; R^1 is a hydrogen, $(C_1$-$C_6)$alkyl optionally substituted with one or more OH, CN, or halo or R^1 is $-(CH_2)_h$-aryl, $-COR^{1-1}$, $COOR^{1-2}$, $-CO-(CH_2)_h-COR^{1-1}$, $(C_1$-$C_6)$alkylsulfonyl, $-SO_2-(CH_2)_h$-aryl or $-(CO)_i$-Het; R^2 is hydrogen, $(C_1$-$C_6)$alkyl, $-(CH_2)_h$-aryl or halo; R^3 and R^4 are the same or different and are hydrogen or halo; R^5 is hydrogen, $(C_1$-$C_{12})$alkyl optionally substituted with one or more halo, $(C_3$-$C_{12})$cycloalkyl, $(C_1$-$C_6)$alkoxy; g is 0, 1 or 2; h is 1, 2, 3 or 4; i is 0 or 1; m is 0, 1, 2, 3, 4, or 5; n is 0, 1, 2, 3, 4 or 5.

International publication number 97/30995 discloses and claims compounds of formula



(III)

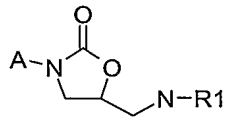
wherein T is of the formula



wherein R^1 is chloro, fluoro, $(C_1$-$C_4)$alkanesulfonyloxy, azido, $(C_1$-$C_4)$alkoxy, $(C_1$-$C_4)$alkylthio, $(C_1$-$C_4)$alkylaminocarbonyloxy; or of the formula $-NHC(=O)R^b$ wherein R^b is hydrogen, $(C_1$-$C_4)$alkoxy, amino, chloromethyl, dichloromethyl, cyanomethyl, methoxymethyl, acetylmethyl, methylamino, dimethylamino or $(C_1$-$C_4)$alkyl; or of the formula $-NHS(O)_n(C_1$-$C_4)$alkyl where n is 0, 1 or 2; R^2 and R^3 are independently hydrogen or fluoro; >A-B- is $>CH-CH_2$; R^6 is $(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkanoylamino$(C_1$-$C_4)$alkyl, hydroxy$(C_1$-

C_4)alkyl, carboxy, (C_1-C_4)alkoxycarbonyl, AR-oxymethyl, AR-thiomethyl (where Ar is as defined in the specification) or independently as defined for R^5 excluding hydrogen; R^5 is of the formula $R^{10}CO$-, $R^{10}SO_2$-, $R^{10}CS$-, where R^{10} is AR.

US patent No. 5,922,708 discloses and claims compounds of formula (IV)



(IV)

in which R^1 is a radical of the formula D-R^2, -CO-R^3 or -CO-NR^4R^5, wherein D is the CO_2 or SO_2 group, R^2 is phenyl or linear or branched alkyl having up to 7 carbon atoms, R^3 is trifluoromethyl or linear or branched alkyl having up to 6 carbon atoms which is substituted by halogen or trifluoromethyl, and R^4 and R^5 are identical or different and are hydrogen, phenyl or linear or branched alkyl having up to 5 carbon atoms; A is a 6-membered aromatic heterocycle having at least one nitrogen atom and directly bonded via a carbon atom, or a 6-membered bicyclic or tricyclic aromatic radical having at least one nitrogen-containing ring and directly bonded via a carbon atom, or β-carbolin-3-yl or indolizinyl directly bonded via the 6-membered ring, or a 5-membered aromatic heterocycle having up to 3 heteroatoms from the group S, N and/or O and directly bonded via a carbon atom, which heterocycle can additionally have a fused benzene or naphthyl ring, all the rings optionally being substituted in each case by up to 3 identical or different substituents selected from carboxyl, halogen, cyano, mercapto, formyl, trifluoromethyl, nitro, linear or branched alkoxy, alkoxycarbonyl, alkylthio or acyl, each of which has up to 6 carbon atoms, and linear or branched alkyl having up to 6 carbon atoms, which in turn can be substituted by hydroxyl, by linear or branched alkoxy or acyl, each of which has up to 5 carbon atoms, or by a group of the formula -NR^6 R^7, wherein R^6 and R^7 are

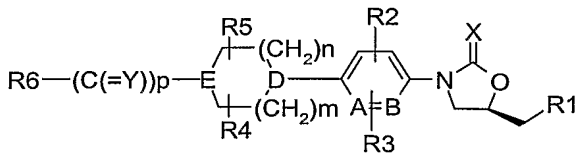
identical or different and are hydrogen, cycloalkyl having 3 to 6 carbon atoms, linear or branched alkyl having up to 5 carbon atoms or phenyl, or, together with the nitrogen atom, form a 5- or 6-membered saturated heterocycle optionally having another heteroatom from the group N, S and/or O, which heterocycle in turn can optionally be substituted, also on another nitrogen atom, by linear or branched alkyl or acyl, each of which has up to 3 carbon atoms etc.

Objective of the Invention

We have focused our research to identify novel oxazolidinone derivatives, which are effective against resistant organisms. Our sustained efforts have resulted in novel oxazolidinone derivatives of the formula (I). The novel oxazolidinone derivatives of the present invention may be useful as antibacterial agents and hence are useful in the treatment of conditions such as nosocomial pneumoniae, community acquired pneumoniae, vancomycin resistance enterococci (VRE) caused by methicillin resistance staphylococcus aureus (MRSA) and penicillin resistance streptococcus pneumoniae. The compounds of the present invention are effective against a number of human or animal pathogens, clinical isolates, including Vancomycin resistant organisms, methicillin resistant organisms

Summary of the Invention

The present invention relates to novel oxazolidinone derivatives of the formula (I)



(I)

their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, wherein X and Y represent oxygen or sulfur; R^1 represents halogen, azido, nitro, cyano, substituted or unsubstituted group selected from TR^7, wherein T represents O or S; R^7 represents hydrogen, formyl, substituted or unsubstituted groups selected from $(C_1\text{-}C_6)$alkyl, cycloalkyl, aryl, aralkyl, acyl, thioacyl, heterocyclyl, heteroaryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl; or R^1 represents $N(R^{8a}R^{8b})$ where R^{8a} and R^{8b} may be same or different and independently represent hydrogen, formyl, substituted or unsubstituted groups selected from $(C_1\text{-}C_6)$alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl or an aminoacid residue which is attached through acid moiety; or R^{8a} and R^{8b} together with nitrogen may represent a mono or bicyclic saturated or unsaturated ring system which may contain one or more heteroatoms selected from O, S or N; or R^1 represents the formula $-NHC(=Z)R^9$ wherein Z represents O or S, R^9 is hydrogen, substituted or unsubstituted groups selected from $(C_1\text{-}C_6)$alkyl, $(C_1\text{-}C_6)$alkoxy, aryl, $(C_3\text{-}C_6)$cycloalkyl, amino, heteroaryl, heterocyclyl, heteroaralkyl, or R^9 represents $N(R^{10}R^{11})$, wherein R^{10} and R^{11} may be same or different and represent hydrogen, substituted or unsubstituted groups selected from alkyl, cycloalkyl, aryl, alkylcarbonyl, arylcarbonyl, cycloalkylcarbonyl, heteroaryl, heteroarylcarbonyl and the like; or R^1 is of the formula $-NHS(O)_r(C_1\text{-}C_4)$alkyl, $-NHS(O)_r$aralkyl or $-NHS(O)_r$heteroaralkyl, where r is 0 to 2; A and B are different and represent CH or N; R^2 and R^3 may be same or different and independently represent hydrogen, halogen, hydroxy, alkyl, alkoxy; n is an integer of 0 or 1; m is an integer in the range of 1 to 4; D represents CH or N; E represents CH or N; R^4 and R^5 may be same or different and independently represent hydrogen, cyano, nitro, amino, halogen, hydroxyl, substituted or unsubstituted groups selected from $(C_1\text{-}C_6)$alkyl, haloalkyl, $(C_1\text{-}C_6)$alkoxy, $(C_1\text{-}C_6)$alkylthio, $(C_3\text{-}C_6)$cycloalkyl or either of R^4 or R^5 represent an oxo or thiooxo group; p is an integer of 1; R^6 represents a

substituted or unsubstituted groups selected from aryl, cycloalkyl, aralkyl, heteroaryl, heteroaralkyl, heteroaralkenyl, heterocyclyl, heterocycloalkyl, heterocycloalkenyl.

Detailed Description of the Invention

Suitable groups represented by R^1 are selected from halogen, azido, nitro, cyano, substituted or unsubstituted group selected from TR^7, $N(R^{8a}R^{8b})$, $-NHC(=Q)R^9$, $-NHS(O)_r(C_1\text{-}C_4)$alkyl, $-NHS(O)_r$aralkyl or $-NHS(O)_r$heteroaralkyl.

Suitable groups represented by R^2 and R^3 are selected from hydrogen, halogen atom such as fluorine, chlorine, bromine or iodine; hydroxyl, $(C_1\text{-}C_6)$alkyl group such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, t-butyl, n-pentyl, isopentyl, hexyl and the like; $(C_1\text{-}C_6)$alkoxy group, such as methoxy, ethoxy, n-propoxy, isopropoxy and the like.

Suitable groups represented by R^4 and R^5 are selected from hydrogen, cyano, nitro, amino, halogen, hydroxyl, $(C_1\text{-}C_6)$alkyl group such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, t-butyl, n-pentyl, isopentyl, hexyl and the like; haloalkyl such as chloromethyl, chloroethyl, trifluoromethyl, trifluoroethyl, dichloromethyl, dichloroethyl and the like; $(C_1\text{-}C_6)$alkoxy group, such as methoxy, ethoxy, n-propoxy, isopropoxy and the like; $(C_1\text{-}C_6)$alkylthio group such as methylthio, ethylthio, n-propylthio, iso-propylthio and the like; $(C_3\text{-}C_6)$cycloalkyl group such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and the like or either of R^4 or R^5 represent an oxo or thiooxo group.

Suitable groups represented by R^7 are selected from hydrogen, formyl, substituted or unsubstituted linear or branched $(C_1\text{-}C_6)$alkyl group such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, t-butyl, n-pentyl, isopentyl, hexyl and the like; $(C_3\text{-}C_6)$cycloalkyl group such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and the like, which may be substituted; aryl group such as phenyl, naphthyl and the like, the aryl group may be

substituted; aralkyl group such as phenylmethyl, phenylethyl, naphthylmethyl, naphthylethyl and the like, the aralkyl group may be substituted; acyl group such as $-C(=O)CH_3$, $-C(=O)C_2H_5$, $-C(=O)C_3H_7$, $-C(=O)C_6H_{13}$, benzoyl and the like, the acyl group may be substituted; thioacyl group such as $-C(=S)CH_3$, $-C(=S)C_2H_5$, $-C(=S)C_3H_7$, $-C(=S)C_6H_{13}$ and the like, the thioacyl group may be substituted; alkylsulfonyl group such as methylsulfonyl, ethylsulfonyl, n-propylsulfonyl, iso-propylsulfonyl and the like, which may be substituted; arylsulfonyl group such as phenylsulfonyl, naphthylsulfonyl and the like, which may be substituted; aralkylsulfonyl group such as phenylmethylsulfonyl, phenylethylsulfonyl, naphthylmethylsulfonyl, naphthylethylsulfonyl and the like, which may be substituted; heteroaryl group such as thienyl, pyridyl, thienyl, furyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, isooxazolyl, oxadiazolyl, pyrazolyl, triazolyl, thiadiazolyl, tetrazolyl, pyrimidinyl, pyrazinyl, pyridazinyl, benzopyranyl, indolyl, indolinyl, benzimidazolyl, benzoxazolyl, benzopyrazolyl, benzothiazolyl, benzofuranyl, benzoxadiazolyl, benzothiadiazolyl, benzodioxolyl, quinolinyl, dihydroquinolinyl, tetrahydroquinolinyl, isoquinolinyl, dihydroisoquinolinyl, tetrahydroisoquinolinyl, quinazolinyl, quinoxalinyl and the like, which may be substituted; heterocyclyl group such as pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, piperazinyl, and the like, which may be substituted.

Suitable groups represented by R^{8a} and R^{8b} are selected from hydrogen, formyl, substituted or unsubstituted linear or branched (C_1-C_6)alkyl group such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, t-butyl, n-pentyl, isopentyl, hexyl and the like; aryl group such as phenyl, naphthyl and the like, which may be substituted; aralkyl group such as phenylmethyl, phenylethyl, naphthylmethyl, naphthylethyl and the like, which may be substituted; heteroaryl group such as pyridyl, thienyl, furyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, isooxazolyl, oxadiazolyl, triazolyl, thiadiazolyl, tetrazolyl,

pyrimidinyl, pyrazinyl, pyridazinyl, benzopyranyl, benzofuranyl, benzimidazolyl, benzoxazolyl, benzothiazolyl, benzopyrrolyl, benzoxadiazolyl, benzothiadiazolyl and the like, which may be substituted; heteroaralkyl group wherein the heteroaryl moiety is as defined above; an aminoacid residue group selected from glycine, alanine, lysine, arginine, asparagine, aspartic acid, cysteine, glutamic acid, glutamine, histidine, isoleucine, leucine, methionine, phenylalanine, proline, serine, threonine, tryptophan, tyrosine or valine.

Suitable ring systems formed by R^{8a} and R^{8b} together are selected from pyridyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, isooxazolyl, oxadiazolyl, triazolyl, thiadiazolyl, tetrazolyl, pyrimidinyl, pyrazinyl, pyridazinyl, piperazinyl, thiazinyl, benzimidazolyl, benzoxazolyl, benzothiazolyl, benzopyrrolyl, benzoxadiazolyl, benzothiadiazolyl and the like.

Suitable groups represented by R^9 are selected from substituted or unsubstituted linear or branched (C_1-C_{10})alkyl group such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, t-butyl, n-pentyl, isopentyl, hexyl and the like; (C_1-C_{10})alkoxy group, such as methoxy, ethoxy, n-propoxy, isopropoxy, butoxy and the like, which may be substituted; aryl group such as phenyl, naphthyl and the like, which may be substituted; (C_3-C_6)cycloalkyl group such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and the like, which may be substituted; heteroaryl group such as thienyl, pyridyl, thienyl, furyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, isooxazolyl, oxadiazolyl, pyrazolyl, triazolyl, thiadiazolyl, tetrazolyl, pyrimidinyl, pyrazinyl, pyridazinyl, benzopyranyl, indolyl, indolinyl, benzimidazolyl, benzoxazolyl, benzopyrazolyl, benzothiazolyl, benzofuranyl, benzoxadiazolyl, benzothiadiazolyl, benzodioxolyl, quinolinyl, dihydroquinolinyl, tetrahydroquinolinyl, isoquinolinyl, dihydroisoquinolinyl, tetrahydroisoquinolinyl, quinazolinyl, quinoxalinyl and the like; heterocyclyl group such as pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl,

piperazinyl and the like; heteroaralkyl wherein the heteroaryl group is as defined above.

Suitable groups represented by R^{10} and R^{11} are selected from hydrogen, substituted or unsubstituted linear or branched (C_1-C_{10})alkyl group such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, t-butyl, n-pentyl, isopentyl, hexyl and the like; aryl group such as phenyl, naphthyl and the like, which may be substituted; (C_3-C_6)cycloalkyl group such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and the like, which may be substituted; alkylcarbonyl group such as methylcarbonyl, ethylcarbonyl, n-propylcarbonyl, iso-propylcarbonyl and the like, which may be substituted; arylcarbonyl group such as phenylcarbonyl or naphthylcarbonyl, which may be substituted; cycloalkylcarbonyl such as cyclopropylcarbonyl, cyclobutylcarbonyl, cyclopentylcarbonyl, cyclohexylcarbonyl and the like, which may be substituted; heteroaryl group such as thienyl, pyridyl, thienyl, furyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, isooxazolyl, oxadiazolyl, pyrazolyl, triazolyl, thiadiazolyl, tetrazolyl, pyrimidinyl, pyrazinyl, pyridazinyl, benzopyranyl, indolyl, indolinyl, benzimidazolyl, benzoxazolyl, benzopyrazolyl, benzothiazolyl, benzofuranyl, benzoxadiazolyl, benzothiadiazolyl, benzodioxolyl, quinolinyl, dihydroquinolinyl, tetrahydroquinolinyl, isoquinolinyl, dihydroisoquinolinyl, tetrahydroisoquinolinyl, quinazolinyl, quinoxalinyl and the like, which may be substituted; heteroarylcarbonyl, wherein the heteroaryl group is as defined above.

Suitable groups represented by R^6 are selected from aryl group such as phenyl, naphthyl and the like, the aryl group may be substituted; aralkyl group such as phenylmethyl, phenylethyl, naphthylmethyl, naphthylethyl and the like, the aralkyl group may be substituted; (C_3-C_6)cycloalkyl group such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and the like, the cycloalkyl group may be substituted; heteroaryl group such as thienyl, pyridyl, thienyl, furyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, isooxazolyl, oxadiazolyl,

pyrazolyl, triazolyl, thiadiazolyl, tetrazolyl, pyrimidinyl, pyrazinyl, pyridazinyl, benzopyranyl, indolyl, indolinyl, benzimidazolyl, benzoxazolyl, benzopyrazolyl, benzothiazolyl, benzofuranyl, benzoxadiazolyl, benzothiadiazolyl, benzodioxolyl, quinolinyl, dihydroquinolinyl, tetrahydroquinolinyl, isoquinolinyl, dihydroisoquinolinyl, tetrahydroisoquinolinyl, quinazolinyl, quinoxalinyl and the like, the heteroaryl group may be substituted; heterocyclyl group such as pyrrolidinyl, morpholinyl, thiomorpholinyl, thiazolidinyl, oxazolidinyl, piperidinyl, piperazinyl and the like; heteroaralkyl and heterocycloalkyl groups wherein the heteroaryl and heterocyclyl groups are as defined above; hetero(C_2-C_6)aralkenyl, heterocyclo(C_2-C_6)alkenyl groups wherein the heteroaryl and heterocyclyl groups are as defined above. The substituents on R^6 are selected from halogen, hydroxy, formyl, nitro, cyano, azido, amino, alkyl, alkylamino, alkylaminocarbonyl, haloalkyl, alkylthio, acylamino, alkoxy, acyl, carboxylic acid or its derivatives such as esters or amides, aryl, heteroaryl, heterocyclyl, substituted aryl, wherein the substituent is selected from nitro, halogen, cyano, hydroxy, amino, alkyl, alkoxy, acyl, and the like; and these substituents are as defined above.

Suitable n is an integer of 0 or 1.

Suitable n is an integer in the range of 1 to 4, preferably n represents 1 or 2.

The substituents on any of the groups represented by R^1, R^2, R^3, R^4, R^5, R^{8a}, R^{8b}, R^9 R^{10}, R^{11} are selected from halogen, hydroxy, formyl, nitro, cyano, azido, amino, alkyl, aryl, alkylamino, alkylaminocarbonyl, haloalkyl, alkylthio, acylamino, alkoxy, acyl, cycloalkylcarbonyl, heteroarylcarbonyl, carboxylic acid or its derivatives such as esters or amides and these substituents are as defined above.

Pharmaceutically acceptable salts of the present invention include alkali metal like Li, Na, and K, alkaline earth metal like Ca and Mg, salts of organic

bases such as diethanolamine, α-phenylethylamine, benzylamine, piperidine, morpholine, pyridine, hydroxyethylpyrrolidine, hydroxyethylpiperidine, choline and the like, ammonium or substituted ammonium salts, aluminum salts. Salts also include amino acid salts such as glycine, alanine, cystine, cysteine, lysine, arginine, phenylalanine, guanidine etc. Salts may include acid addition salts where appropriate which are, sulphates, nitrates, phosphates, perchlorates, borates, hydrohalides, acetates, tartrates, maleates, citrates, succinates, palmoates, methanesulphonates, tosylates, benzoates, salicylates, hydroxynaphthoates, benzenesulfonates, ascorbates, glycerophosphates, ketoglutarates and the like. Pharmaceutically acceptable solvates may be hydrates or comprising other solvents of crystallization such as alcohols.

Representative compounds according to the present invention include:
(*S*)-N-[3-[2-[4-(N-5-Nitrofuran-2-ylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;
(*S*)-N-[3-[2-[4-(N-5-Nitrofuran-2-ylthiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;
(*S*)-N-[3-[2-[4-(N-5-Nitrofuran-2-ylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;
(*S*)-N-[3-[2-[4-(N-5-Nitrofuran-2-ylthiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thioacetamide ;
(*S*)-N-[3-[2-[4-(N-furan-2-ylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;
(*S*)-N-[3-[2-[4-(N-furan-2-ylthiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;
(*S*)-N-[3-[2-[4-(N-furan-2-ylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

(*S*)-N-[3-[2-[4-(N-furan-2-ylthiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thioacetamide ;

(*S*)-N-[3-[2-[4-(N-5-Nitropyrazol-2-ylthiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thioacetamide ;

(*S*)-N-[3-[2-[4-(N-5-Nitropyrazol-2-ylthiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

(*S*)-N-[3-[2-[4-(N-5-Nitropyrazol-2-ylthiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-5-Nitropyrazol-2-ylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-pyrazine-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

(*S*)-N-[3-[2-[4-(N-pyrazine-2-yl-thiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

(*S*)-N-[3-[2-[4-(N-pyrazine-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-pyrazine-2-yl-thiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-5-methylpyrazin-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-5-methylpyrazin-2-yl-thiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-pyrazine-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thioacetamide ;

(*S*)-N-[3-[2-[4-(N-pyrazine-2-yl-thiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thioacetamide ;

(*S*)-N-[3-[2-[4-(N-1-methylpyrrolyl-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-pyrrolyl-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-thien-2-yl-thiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-furan-2-yl-propenoyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

(*S*)-N-[3-[2-[4-(N-furan-2-yl-propenoyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl] thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-5-fluoroindol-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

(*S*)-N-[3-[2-[4-(N-piperidin-1-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(4-(4-acetylphenyl-1-yl)piperazin-1-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(4-(piperidin-1-yl)piperidin-1-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(4-(4-nitrophenyl-1-yl)furan-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

(*S*)-N-[3-[2-[4-(cyclopropylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(cyclopropylthiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(cyclopropylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

N'-methyl thiourea ;

(*S*)-N-[3-[2-[4-(cyclopropylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]-N'-methyl thiourea ;

(*S*)-N-[3-[2-[4-(cyclopropylthiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

(*S*)-N-[3-[2-[4-(cyclopropylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thioacetamide ;

(*S*)-N-[3-[2-[4-(cyclopropylthiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thioacetamide ;

(*S*)-N-[3-[2-[4-(N-pyrrolidin-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

(*S*)-N-[3-[2-[4-(N-pyrrolidin-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-thiazolidin-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate and

(*S*)-N-[3-[2-[4-(N-quinoxalin-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate.

(*S*)-N-[3-[2-[4-(N-5-nitrofuran-2-ylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]-(N'-4-cyanophenyl)thiourea ;

(*S*)-N-[3-[2-[4-(N-Cyclopropyl-2-ylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]-(N'-methyl-N'-cyclopropanecarboxamide) thiourea ;

(*S*)-N-[3-[2-[4-(4-(pyridin-2-yl)piperazin-1-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

(*S*)-N-[3-[2-[4-(4-(pyridin-2-yl)piperazin-1-yl-thiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thioacetamide ;

(*S*)-N-[3-[2-[4-(4-(pyridin-2-yl)piperazin-1-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(4-(pyridin-2-yl)piperazin-1-yl-thiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

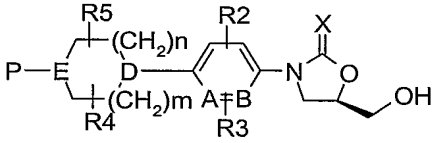
(*S*)-N-[3-[2-[4-(N-imidazol-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

(*S*)-N-[3-[2-[4-(N-imidazol-2-yl-thiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thioacetamide ;

(*S*)-N-[3-[2-[4-(N-imidazol-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate and
(*S*)-N-[3-[2-[4-(N-imidazol-2-yl-thiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

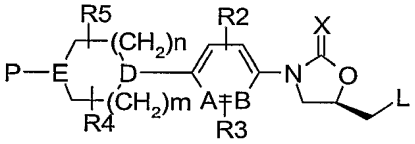
According to another embodiment of the present invention, there is provided a process for the preparation of novel oxazolidinone derivatives of the formula (I) where R^1 represents $NHC(=Z)R^9$, wherein R^9 is as defined earlier, n is 1 and all other symbols are as defined earlier, which comprises

(i) converting the compound of formula (III)



(III)

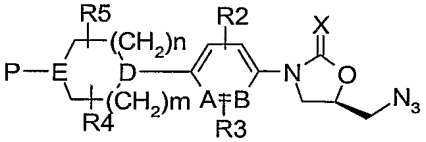
where P represents protecting group such as benzyl, benxyloxy carbonyl, tert-butoxycarbonyl, chloroethyl formate, Fmoc and all other symbols are as defined earlier to produce a compound of formula (IV)



(IV)

where L represents a leaving group such as mesylate, tosylate or triflate and all other symbols are as defined earlier,

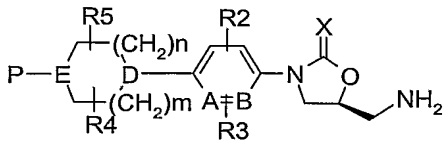
ii) converting the compound of formula (IV) to produce a compound of formula (V)



(V)

where all symbols are as defined earlier,

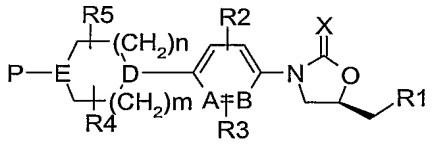
iii) reducing the compound of formula (V) to a compound of formula (VI)



(VI)

where all symbols are as defined earlier,

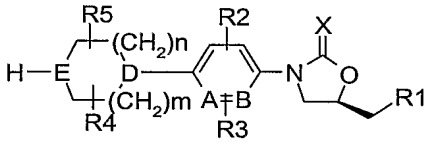
iv) acylating the compound of formula (VI) to produce a compound of formula (VII)



(VII)

where all symbols are as defined earlier,

v) deprotecting the compound of formula (VII) to produce a compound formula (VIII),



(VIII)

where all symbols are as defined earlier,

vi) reacting the compound of formula (VIII) with a compound of formula (IX)

$$R6—(C(=Y))p—L \qquad (IX)$$

wherein L is a leaving group and all other symbols are as defined earlier to produce a compound of formula (I).

The compound of formula (III) may be converted to a compound of formula (IV) using methane sulfonyl chloride, tosyl chloride, trifluoromethane sulfonyl chloride. The reaction may be carried out in the presence of solvents like tetrahydrofuran, chloroform, dichloromethane, dichloroethane, ethylacetate, o-dichlorobenzene and the like or a mixture thereof and a base selected from dimethylamino pyridine, triethylamine, pyridine and the like.

The reaction may be carried out at a temperature in the range of -10 °C to room temperature. The duration of the reaction may range from 1 to 12 hrs.

The conversion of compound of formula (IV) may be carried out in the presence of one or more equivalents of metal azide such as LiN_3, NaN_3 or trialkyl silylazide. The reaction may be carried out in the presence of solvent such as THF, acetone, DMF, DMSO and the like or mixtures thereof. The reaction may be carried out in inert atmosphere, which may be maintained using N_2 or Ar. The reaction may be carried out at a temperature in the range of ambient temperature to reflux temperature of the solvent, preferably at a temperature in the range of 60 °C to 120 °C. The reaction time may range from 0.5 to 18 h.

The reduction of compound of formula (V) may be carried out in the presence of gaseous hydrogen and a catalyst such as Ru, Pd, Rh, Pt, Ni on solid beads such as charcoal, alumina, asbestos and the like. The reduction may be conducted in the presence of a solvent such as dioxane, acetic acid, ethyl acetate, THF, alcohol such as methanol, ethanol, isopropanol and the like or mixtures thereof. A pressure between atmospheric pressure to 60 psi may be used. The reaction may be carried out at a temperature in the range of 25 to 60 °C, preferably at room temperature. The reaction time ranges from 2 to 48 h. The reduction may also be carried out by employing metal in mineral acids such as Sn/HCl, Fe/HCl, Zn/HCl, Zn/CH_3CO_2H and the like.

Acylation of compound of formula (VI) may be carried out using acylating agents such as anhydrides like acetic anhydride, propionic anhydride, acid chlorides like acetyl chloride, propionyl chloride, thioacids such as thioacetic acid. The reaction may be carried out in the presence of solvents like tetrahydrofuran, chloroform, dichloromethane, dichloroethane, ethylacetate, o-dichlorobenzene or a mixture thereof. The reaction may be carried out in the presence of base selected from dimethylamino pyridine,

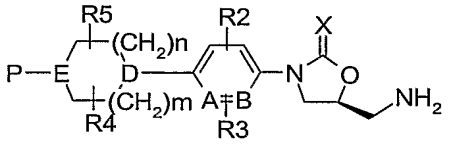
triethylamine, pyridine and the like. The reaction may be carried out at a temperature in the range of 0 °C to room temperature. The duration of the reaction may range from 2 to 24 hrs.

The deprotection of compound of formula (VII) may be carried out using strong acids such as trifluoroacetic acid, hydrochloric acid, sulfuric acid. The reaction may be carried out in the presence of appropriate solvents like tetrahydrofuran, chloroform, dichloromethane, dichloroethane, ethylacetate, o-dichlorobenzene or a mixture thereof. The reaction may be carried out at a temperature in the range of 0 °C to room temperature. The duration of the reaction may range from 1 to 6 hrs.

The reaction of compound of formula (VIII) with the compound of formula (IX) may be carried out in the presence of molecular sieves, and reducing agents such as sodium borohydride, triacetoxy sodium borohydride, sodium cyano borohydride, lithium aluminium hydride. The reaction may be carried out in the presence of appropriate solvents like tetrahydrofuran, chloroform, dichloromethane, dichloroethane, ethylacetate, o-dichlorobenzene or a mixture thereof. The reaction may be carried out at room temperature. The duration of the reaction may range from 12 to 24 hrs.

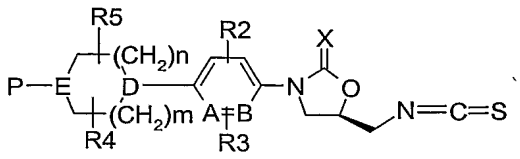
According to another embodiment of the present invention, there is provided a process for the preparation of novel oxazolidinone derivatives of the formula (I) where R^1 represents NHC(=Z)R^9, wherein Z is S; R^9 represents alkoxy or amino and all other symbols are as defined earlier, which comprises

i) converting the compound of formula (VI)



(VI)

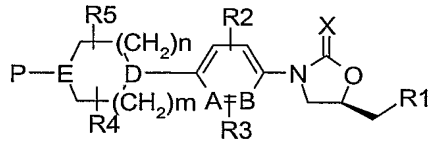
to produce a compound of formula (X)



(X)

where all symbols are as defined earlier,

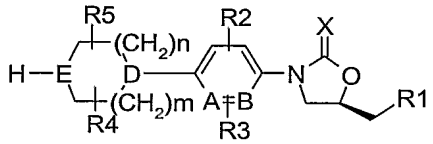
ii) converting the compound of formula (X) to produce a compound of formula (VII)



(VII)

where R^1 is as defined above and all other symbols are as defined earlier and

iii) deprotecting the compound of formula (VII) to produce a compound formula (VIII),



(VIII)

where all symbols are as defined earlier and

iv) reacting the compound of formula (VIII) with a compound of formula (IX)

$$R6—(C(=Y))p—L \qquad (IX)$$

wherein all symbols are as defined earlier and L is a leaving group to produce a compound of formula (I), where R^1 represents – $NHC(=Z)R^9$.

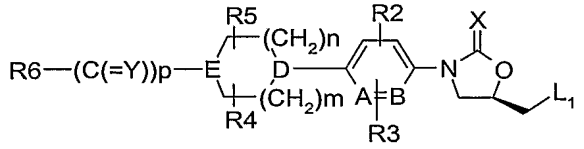
The conversion of compound of formula (VI) to produce compound of formula (X) may be carried out using thiophosgene gas in the presence of solvent such as tetrahydrofuran, chloroform, dichloromethane, dichloroethane, ethylacetate, o-dichlorobenzene or a mixture thereof. The reaction may be carried out in the presence of a base selected from dimethylamino pyridine, triethylamine, pyridine and the like. The reaction may be carried out at a temperature in the range of -10 °C to room temperature.

The conversion of compound of formula (X) to compound of formula (VII) may be carried out using solvents such as THF, DCM, alcohol such as methanol, ethanol, propanol and the like. The reaction may be carried out in the presence of a base selected from dimethylamino pyridine, triethylamine, pyridine and the like. The reaction may be carried out at a temperature in the range of 30 °C to reflux temperature. The duration of the reaction may range from 6 to 18 hrs.

The deprotection of compound of formula (VII) may be carried out using strong acids such as trifluoroacetic acid, hydrochloric acid, sulfuric acid. The reaction may be carried out in the presence of appropriate solvents like tetrahydrofuran, chloroform, dichloromethane, dichloroethane, ethylacetate, o-dichlorobenzene or a mixture thereof. The reaction may be carried out at a temperature in the range of 0 °C to room temperature. The duration of the reaction may range from 1 to 6 hrs.

The reaction of compound of formula (VIII) with the compound of formula (IX) may be carried out in the presence of molecular sieves, and reducing agents such as sodium borohydride, triacetoxy sodium borohydride, sodium cyano borohydride, lithium aluminium hydride. The reaction may be carried out in the presence of appropriate solvents like tetrahydrofuran, chloroform, dichloromethane, dichloroethane, ethylacetate, benzene, xylene, THF, o-dichlorobenzene or a mixture thereof. The reaction may be carried out at room temperature. The duration of the reaction may range from 12 to 24 hrs.

In yet another embodiment of the present invention, there is provided a process for the preparation of compounds of formula (I) where R^1 represents TR^7, $N(R^{8a}R^{8b})$, wherein R^7, R^{8a} and R^{8b} are as defined earlier which comprises reacting the compound of formula (XI)

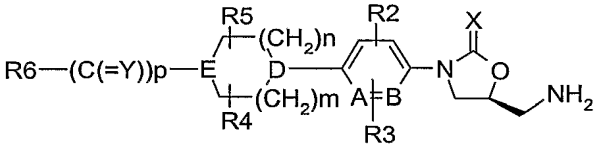


(XI)

where L^1 represents a leaving group such as mesylate, tosylate or triflate with R^7YH or $NH(R^{8a}R^{8b})$ where all symbols are as defined earlier.

The conversion of compounds of formula (XI) to a compound of formula (I) may be carried out by heating in the presence of base selected from NaH, KH, t-BuOK and the like and solvents such as DMF, THF, DCM, DMA and the like. The reaction temperature may range from 0 °C to room temperature. The duration of the reaction may range from 2 to 6 hrs.

In yet another embodiment of the present invention, there is provided a process for the preparation of compounds of formula (I) wherein R^1 represents $-NHS(O)_r(C_1\text{-}C_4)$alkyl, $-NHS(O)_r$aralkyl or $-NHS(O)_r$heteroaralkyl group, which comprises reacting the compound of formula (XII)

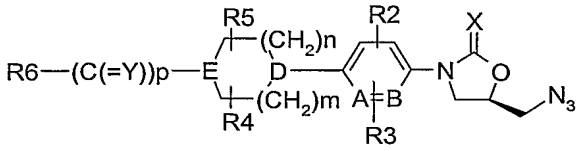


(XII)

where all symbols are as defined earlier which represents compounds of formula (I), R^1 represents $N(R^{8a}R^{8b})$ where R^{8a} and R^{8b} represent hydrogen, with $R'SO_2Cl$ where R' represents $(C_1\text{-}C_4)$alkyl, aralkyl or heteroaralkyl group.

The reaction of compounds of formula (XII) may be carried out by heating in the presence of base selected from pyridine, triethylamine and the like and solvents such as DMF, DCM, ethyl acetate and the like. The reaction temperature may range from 0 °C to room temperature. The duration of the reaction may range from 4 to 12 hrs.

According to another embodiment of the present invention, there is provided a process for the preparation of novel oxazolidinone derivatives of the formula (I) where R^1 represents the formula – NHC(=Z)R^9 where Y is S, R^9 and all other symbols are as defined above, which comprises reacting the compound of formula (XIII)



(XIII)

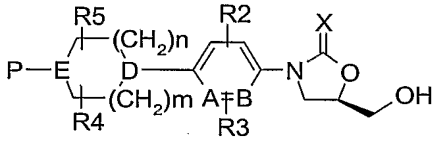
where all symbols are as defined earlier which represents compound of formula (I) where R^1 represents azido with thioacetic acid to produce compound of formula (I) as defined above.

The acylation of compound of formula (XIII) may be carried out using acylating agents such as thioacetic acid. The reaction may be carried out in the presence of appropriate solvents like tetrahydrofuran, chloroform, dichloromethane, dichloroethane, ethylacetate, o-dichlorobenzene or a mixture thereof. The reaction may be carried out at a temperature in the range of 0 °C to room temperature. The duration of the reaction may range from 6 to 12 hrs.

In another embodiment of the present invention, there is provided a process for the conversion of compounds of formula (I) where R^1 represents the formula – NHC(=Z)R^9; where Z is O, R^9 and all other symbols are as defined above to compounds of formula (I) where R^1 represents the formula – NHC(=Z)R^9; where Z is S, R^9 and all other symbols are as defined earlier. The conversion may be carried out using Lawesson's reagent in the presence of base such as triethyl amine, pyridine and the like and solvents such as toluene, DCC, tetrahydrofuran, chloroform, dichloromethane, dichloroethane, ethylacetate, o-dichlorobenzene or a mixture thereof. The reaction may be

carried out at a temperature in the range of 20 °C to 120 °C. The duration of the reaction may range from 1 to 12 hrs.

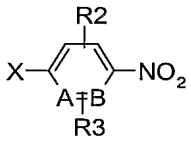
According to another embodiment of the present invention, there is provided a process for the preparation of compounds of formula (III)



(III)

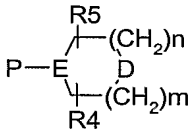
where all symbols are as defined earlier, which comprises :

i) reacting the compound of formula (IIIa)



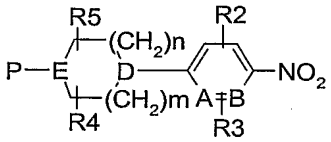
(IIIa)

where X represents halogen atom and all other symbols are as defined earlier, with compound of formula (IIIb)



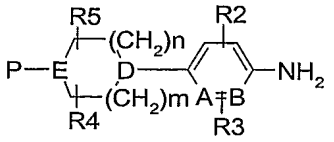
(IIIb)

where P represents protecting group and all other symbols are as defined earlier, to produce compound of formula (IIIc)



(IIIc)

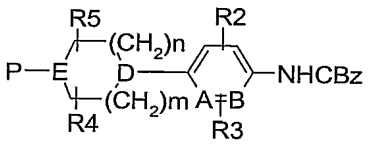
ii) reducing the compound of formula (IIIc) to produce a compound of formula (IIId)



(IIId)

wherein all symbols are as defined earlier,

iii) converting the compound of formula (IIId) to produce compound of formula (IIIe)



(IIIe)

where all symbols are as defined earlier,

iv) cyclizing the compound of formula (IIIe) with R-(-)-glycidyl butyrate to produce a compound of formula (III) where all symbols are as defined earlier.

The reaction of compound of formula (IIIa) with compound of formula (IIIb) may be carried out in the presence of BINAP [(R)-2,2'-Bis(diphenylphosphino)-1,1'-binaphthyl] and tris(dibenzylidene acetone)dipalladium(o). The reaction may be carried out using inert gases such as N_2, argon and the like. The reaction may be carried out in the presence of solvents such as toluene, DCC, tetrahydrofuran, chloroform, dichloromethane, dichloroethane, ethylacetate, o-dichlorobenzene or a mixture thereof. The reaction is carried out at temperature in the range of 20 to 60 °C.

The reduction of compound of formula (IIIc) may be carried out in the presence of gaseous hydrogen and a catalyst such as Ru, Pd, Rh, Pt, Ni on solid beads such as charcoal, alumina, asbestos and the like. The reduction may be conducted in the presence of a solvent such as dioxane, acetic acid, ethyl acetate, THF, alcohol such as methanol, ethanol, isopropanol and the like or mixtures thereof. A pressure between atmospheric pressure to 60 psi may be used. The reaction may be carried out at a temperature in the range of 25 to 60 °C, preferably at room temperature. The reaction time ranges from 2 to 48 h. The reduction may also be carried out by employing metal in mineral acids such as Sn/HCl, Fe/HCl, Zn/HCl, Zn/CH_3CO_2H and the like.

The conversion of compound of formula (IIId) to compound of formula (IIIe) may be carried out using benzyloxycarbonyl chloride and sodium bicarbonate, in the presence of solvents such as acetone, DMF, water, THF and the like or mixtures thereof. The reaction temperature may range from –20 °C to room temperature. The duration of the reaction may range from 3 to 18 hrs.

The cyclization of compound of formula (IIIe) may be carried out in the presence of base such as n-butyl lithium, LDA, potassium bis(trimethylsilyl)amide, lithium-bis(trimethylsilyl)amide and the like. The reaction may be carried out in the presence of solvent such as THF, DMF and the like. The reaction is carried out using chiral ester such as R-(-)-glycidyl butyrate. The reaction is carried out at a temperature in the range of –80 °C to –50 °C. The duration of the reaction may range from 2 to 12 hrs.

It is appreciated that in any of the above-mentioned reactions, any reactive group in the substrate molecule may be protected according to conventional chemical practice. Suitable protecting groups in any of the above-mentioned reactions are those used conventionally in the art. The methods of formation and removal of such protecting groups are those conventional methods appropriate to the molecule being protected.

The pharmaceutically acceptable salts are prepared by reacting the compound of formula (I) with 1 to 4 equivalents of a base such as sodium hydroxide, sodium methoxide, sodium hydride, potassium t-butoxide, calcium hydroxide, magnesium hydroxide and the like, in solvents like ether, tetrahydrofuran, methanol, t-butanol, dioxane, isopropanol, ethanol etc. Mixture of solvents may be used. Organic bases such as diethanolamine, α-phenylethylamine, benzylamine, piperidine, morpholine, pyridine, hydroxyethylpyrrolidine, hydroxyethylpiperidine, choline and the like,

ammonium or substituted ammonium salts, aluminum salts. Amino acid such as glycine, alanine, cystine, cysteine, lysine, arginine, phenylalanine, guanidine etc may be used for the preparation of amino acid salts. Alternatively, acid addition salts wherever applicable are prepared by the treatment with acids such as hydrochloric acid, hydrobromic acid, nitric acid, sulfuric acid, phosphoric acid, p-toluenesulphonic acid, methanesulfonic acid, acetic acid, citric acid, maleic acid, salicylic acid, hydroxynaphthoic acid, ascorbic acid, palmitic acid, succinic acid, benzoic acid, benzenesulfonic acid, tartaric acid and the like in solvents like ethyl acetate, ether, alcohols, acetone, tetrahydrofuran, dioxane etc. Mixture of solvents may also be used.

The stereoisomers of the compounds forming part of this invention may be prepared by using reactants in their single enantiomeric form in the process wherever possible or by conducting the reaction in the presence of reagents or catalysts in their single enantiomer form or by resolving the mixture of stereoisomers by conventional methods. Some of the preferred methods include use of microbial resolution, resolving the diastereomeric salts formed with chiral acids such as mandelic acid, camphorsulfonic acid, tartaric acid, lactic acid, and the like wherever applicable or chiral bases such as brucine, cinchona alkaloids and their derivatives and the like. Commonly used methods are compiled by Jaques et al in "Enantiomers, Racemates and Resolution" (Wiley Interscience, 1981). More specifically the compound of formula (I) may be converted to a 1:1 mixture of diastereomeric amides by treating with chiral amines, aminoacids, aminoalcohols derived from aminoacids; conventional reaction conditions may be employed to convert acid into an amide; the diastereomers may be separated either by fractional crystallization or chromatography and the stereoisomers of compound of formula (I) may be prepared by hydrolysing the pure diastereomeric amide.

Various polymorphs of compound of general formula (I) forming part of this invention may be prepared by crystallization of compound of formula (I) under different conditions. For example, using different solvents commonly used or their mixtures for recrystallization; crystallizations at different temperatures; various modes of cooling, ranging from very fast to very slow cooling during crystallizations. Polymorphs may also be obtained by heating or melting the compound followed by gradual or fast cooling. The presence of polymorphs may be determined by solid probe nmr spectroscopy, ir spectroscopy, differential scanning calorimetry, powder X-ray diffraction or such other techniques.

Pharmaceutically acceptable solvates of the compounds of formula (I) forming part of this invention may be prepared by conventional methods such as dissolving the compounds of formula (I) in solvents such as water, methanol, ethanol, mixture of solvents such as acetone:water, dioxane:water, N,N-dimethylformamide:water and the like, preferably water and recrystallizing by using different crystallization techniques.

The compounds of the present invention are useful for the treatment of microbial infections in humans and other warm blooded animals, under both parenteral and oral administration. In addition to the compounds of formula (I) the pharmaceutical compositions of the present invention may also contain or be co-administered with one or more known drugs selected from other clinically useful antibacterial agents such as β-lactams or aminoglycosides. These may include penicillins such as oxacillin or flucloxacillin and carbapenems such as meropenem or imipenem to broaden the therapeutic effectiveness against, for example, methicillin-resistant staphylococci. Compounds of the formula (I) of the present invention may also contain or be co-administered with bactericidal/permeability-increasing-g protein product

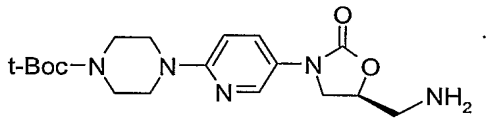
(BPI) or efflux pump inhibitors to improve activity against gram negative bacteria and bacteria resistant to antimicrobial agents.

The pharmaceutical composition may be in the forms normally employed, such as tablets, capsules, powders, syrups, solutions, suspensions and the like, may contain flavoring agents, sweeteners etc. in suitable solid or liquid carriers or diluents, or in suitable sterile media to form injectable solutions or suspensions. Such compositions typically contain from 1 to 20 %, preferably 1 to 10 % by weight of active compound, the remainder of the composition being pharmaceutically acceptable carriers, diluents or solvents.

The present invention is provided by the examples below, which are provided by way of illustration only and should not be considered to limit the scope of the invention.

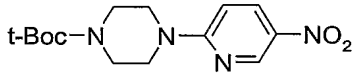
Preparation 1

Synthesis of (*S*)-N-3-[2-[4-(N-t-butoxycarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl amine



Step (i)

Preparation of 2-(piperazine-N-t-butoxycarbonyl)-5-nitro pyridine

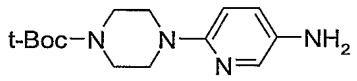


BINAP [(R)-2,2'-Bis(diphenylphosphino)-1,1'-binaphthyl] (2.5 g, 0.00394088 moles) and tris(dibenzylidene acetone)dipalladium(o) (7.2 g, 0.00788177 moles) were taken in dry toluene (400 ml) and stirred under argon atmosphere at room temperature for 15 minutes. 2-Bromo-5-nitro-pyridine (40 g, 0.197044 moles) was dissolved in toluene (200 ml) and added to the reaction mixture followed by N-t-butoxycarbonyl piperazine (44 g, 0.23645 moles). To this cesium carbonate (90 g, 0.275862 moles) was added at room temperature

under argon atmosphere. The reaction mixture was cooled to RT and filtered through celite. Washed the residue thoroughly with ethylacetate. The combined filtrates were washed with water and brine solution. Dried over anhydrous sodium sulphate and concentrated to dryness and purified over silica gel column using dichloroformate and methanol as eluent to yield the title compound (42.4 g, yield 70%).

Step (ii)

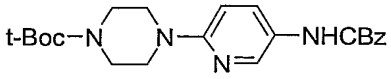
Preparation of 2-(piperazine-N-t-butoxycarbonyl)-5-amino pyridine



2-(Piperazine-N-t-butoxycarbonyl)-5-nitro pyridine (82 g, 0.266233 moles) was dissolved in 1:1 mixture of methanol and ethylacetate (1L). This solution was cooled to –5° to –10 °C. To this, 8.2 g of 10% palladium carbon was added and hydrogenated the reaction mixture at 45 °C, 60 psi for 3 hours. Filtered the reaction mixture through celite and washed the residue thoroughly with methanol. Concentrated the filtrate to dryness and dried under high vacuum to give the title compound (74 g).

Step (iii)

Preparation of 2-(piperazine-N-t-butoxycarbonyl)-5-(benzyloxycarbonyl) aminopyridine

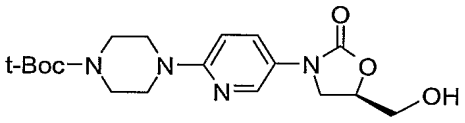


To a solution of 2-(piperazine-N-t-butoxycarbonyl)-5-amino pyridine (70 g, 0.251798 moles) dissolved in acetone (700 ml), sodium bicarbonate (42.3 g, 0.503597 moles) dissolved in water (350 ml), was added and cooled to 0 °C. Benzylchloroformate (85.8 g, 0.503597 moles) was added to the reaction

mixture at 0 °C dropwise. After complete addition, the reaction mixture was kept at room temperature for 12 hours. Acetone was removed from the reaction mixture and diluted further with ethylacetate (2L). Washed the ethylacetate layer with water and brine solution. Dried over anhydrous sodium sulphate and concentrated to dryness. The crude compound was crystallized using ethylacetate and hexane to yield the title compound (67.4 g, yield 65 %).

Step (iv)

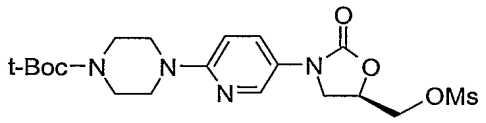
Preparation of (*S*)-N-3-[2-[4-(N-t-butoxycarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethanol



A solution of 2-(piperazine-N-t-butoxycarbonyl)-5-(benzyloxycarbonyl) aminopyridine (30 g, 0.0728155 moles) dissolved in dry tetrahydrofuran (600 ml) was cooled to –78 °C. To this n-butyl lithium (23.3 g, 0.3640776 moles, 15% solution in hexane) was added at –78 °C dropwise with out raising the temperature. After complete addition, continued the stirring at –78 °C for 1 hour. Then, (R)-glycidylbutyrate (15.73 g, 0.1092232 moles) was added to the reaction mixture at –78 °C and kept the reaction mixture at –78 °C → 0 °C → RT for 16 hours. Quenched the RM by adding ammonium chloride solution followed by water. The RM was extracted with ethyl acetate (3 x 500 ml), dried over anhydrous sodium sulphate and concentrated to dryness and purified over silica gel, using DCM and methanol as eluent. The pure compound was eluted in 1 to 2% methanol / DCM, to obtained the title compound (16.5 g, yield 60%).

Step (v)

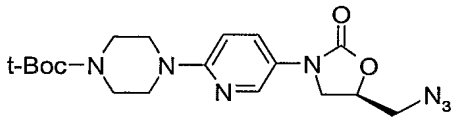
Preparation of (*S*)-N-[3-[2-[4-(N-t-butoxycarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]mesylate



To a solution of (*S*)-N-3-[2-[4-(N-t-butoxycarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethanol (10 g, 0.026455 moles) dissolved in DCM (100 ml) cooled to 0 °C, triethylamine (5.66 g, 0.0560846 moles) was added. To this reaction mixture methane sulphonylchloride (5.36 g, 0.046825 moles) was added at 0 °C. The reaction mixture was stirred for 3 hours at room temperature. Diluted the reaction mixture with ethyl acetate (1L) and the ethylacetate layer was washed with sodium bicarbonate, water and brine solution. Dried over anhydrous sodium sulphate and concentrated to dryness to yield the title compound (12 g, yield 100%).

Step (vi)

Preparation of (*S*)-N-[3-[2-[4-(N-t-butoxycarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]azide

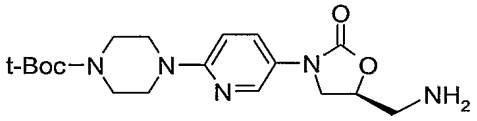


To a solution of (*S*)-N-[3-[2-[4-(N-t-butoxycarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]mesylate (25 g, 0.0548245 moles) dissolved in DMF (300 ml), sodium azide (14.25 g, 0.21929 moles) was added. The reaction mixture was heated at 85-90 °C for 4 hours. Cooled the reaction mixture to RT and water (200 ml) was added and extracted the reaction mixture with ethyl acetate (3 x 300 ml). The ethyl acetate layer was washed with water and brine solution. Dried over anhydrous sodium sulphate and

concentrated the solution and dried the mass under high vacuum to give the title compound (22 g, yield 100 %).

Step (vii)

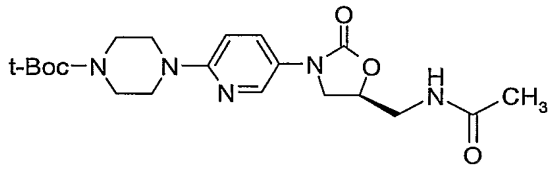
Synthesis of (*S*)-N-[3-[2-[4-(N-t-butoxycarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]amine



(*S*)-N-[3-[2-[4-(N-t-butoxycarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]azide (17 g, 0.04218 moles) was taken in methanol (200 ml) and 10% palladium-carbon (1.7 g) was added under N_2 atmosphere. Hydrogenated the reaction mixture using par hydrogenation apparatus at 40 °C under 80 psi pressure for 6 hours. Filtered the RM through celite and washed the residue thoroughly with methanol. The filtrate was concentrated to dryness and washed with hexane. Dried the compound under high vacuum to give the title compound (11 g, yield 70%).

Preparation 2

Synthesis of (*S*)-N-[3-[2-[4-(N-t-butoxycarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide

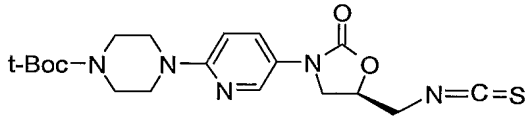


(*S*)-N-[3-[2-[4-(N-t-Butoxycarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]amine (5.8 g, 0.0153846 moles) was dissolved in dry DCM (50 ml) and cooled to 0 °C. To this solution pyridine (1.82 g,

0.0230769 moles) and acetic anhydride (6.27 g, 0.06153846 moles) was added at 0 °C. Allowed the RM to stir at RT for 4 hours and poured the RM over ice and extracted with DCM (3 x 100 ml). Washed the organic layer with sodium bicarbonate, water and brine solution. Dried over anhydrous sodium sulphate, and concentrated to dryness. Purified the crude material on silica gel using DCM and CH_3OH as eluent to yield the title compound (4.6 g, yield 72%).

Preparation 3

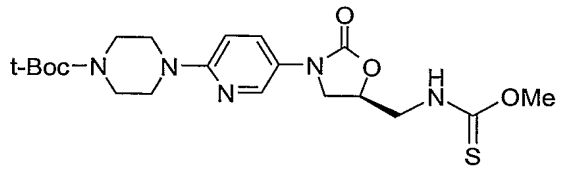
Synthesis of (*S*)-N-[3-[2-[4-(N-t-butoxycarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]isothiocyanate



(*S*)-N-[3-[2-[4-(N-t-Butoxycarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]amine (8 g, 0.02122 moles) was dissolved in dry DCM (40 ml) and cooled to 0 °C. Triethyl amine (7.5 g, 0.074271 moles) was added to the RM at 0 °C. Thiophosgene (2.9 g, 0.0254641 moles) was added to the RM at 0 °C. Stirred the RM at room temperature for 3 hours. Removed the solvent from the reaction mixture over Buchi rotary evaporator and dissolved the mass in ethyl acetate (500 ml). Washed the ethyl acetate layer with sodium bicarbonate, water and brine solution. Dried over anhydrous sodium sulphate and concentrated to dryness. Dried the compound under high vacuum, to give the title compound (8 g, yield 90%).

Preparation 4

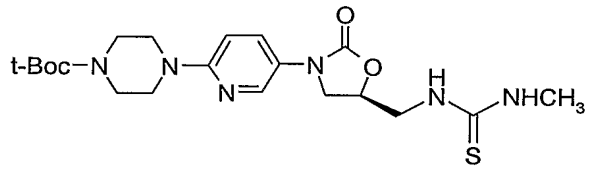
Synthesis of (*S*)-N-[3-[2-[4-(N-t-butoxycarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate



A solution of (*S*)-N-[3-[2-[4-(N-t-butoxycarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]isothiocyanate (8 g, 0.019093 moles) dissolved in methanol (80 ml) was heated to reflux temperature for 6 hours. After completion of the reaction, the solvent was removed and purified the RM over silica gel column using hexane and ethylacetate mixture as eluent to yield the title compound (5.2 g, yield 60%).

Preparation 5

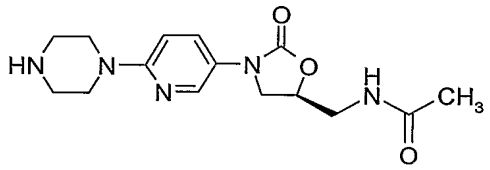
Synthesis of (*S*)-N-[3-[2-[4-(N-t-butoxycarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]-N'-methyl thiourea



(*S*)-N-[3-[2-[4-(N-t-Butoxycarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]isothiocyanate (5 g, 0.011933 moles) was dissolved in dry THF (50 ml). To this solution triethylamine (0.6 g, 0.005966 moles) and methyl amine hydrochloride (1.2 g, 0.017899 moles) were added at room temperature and heated the reaction mixture to reflux temperature for 2 hours. The reaction mixture was cooled to room temperature and diluted with ethylacetate. Washed the ethyl acetate layer with water and brine solution. Dried over anhydrous sodium sulphate and concentrated to dryness. Purified the crude material over silica gel using hexane and ethylacetate mixture as eluent to yield the title compound (3.6 g, yield 68%).

Preparation 6

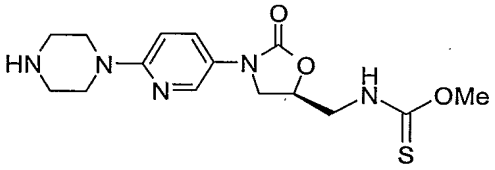
Synthesis of (*S*)-N-[3-[2-(piperazin-1-yl)pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide



(*S*)-N-[3-[2-[4-(N-t-Butoxycarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide (0.6 g, 0.00143 moles) (obtained according to the procedure given in preparation 2) was taken in dry DCM (10 ml) and cooled to 0 °C. Trifluoroacetic acid (0.978 g, 0.00858 moles) was added to the reaction mixture and stirred the RM at room temperature for 3 hours. Excess sodium bicarbonate was added to the RM and stirred for 15 minutes. Filtered the solid and washed thoroughly with ethyl acetate. The filtrate was concentrated to dryness and dried under vacuum to afford the title compound (0.45 g, yield 100%).

Preparation 7

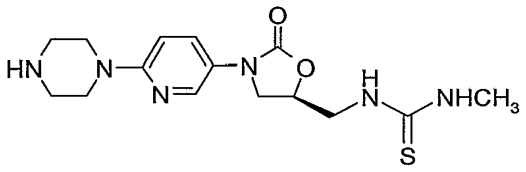
Synthesis of (*S*)-N-[3-[2-(piperazin-1-yl)pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate



The title compound (1.55 g, yield 100%) was prepared from (*S*)-N-[3-[2-[4-(N-t-butoxycarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate (2 g, 0.004434 moles) (obtained according to the procedure given in preparation 4) and trifluoro acetic acid (3.032 g, 0.026604 moles) by following the procedure described in preparation 6.

Preparation 8

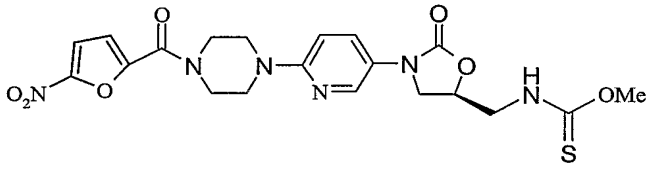
Synthesis of (*S*)-N-[3-[2-(piperazin-1-yl)pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]-N'-methyl thiourea



The title compound (1.55 g, yield 100%) was prepared from (*S*)-N-[3-[2-[4-(N-t-butoxycarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]-N'-methyl thiourea (2 g, 0.004444 moles) (obtained according to the procedure given in preparation 5) and trifluoroacetic acid (3.0399 g, 0.02666 moles) by following the procedure described in preparation 6.

Example 1

Synthesis of (*S*)-N-[3-[2-[4-(N-5-nitrofuran-2-ylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate



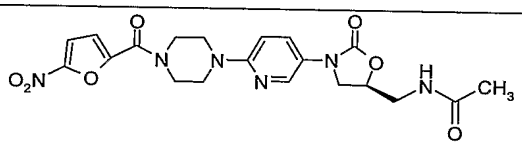
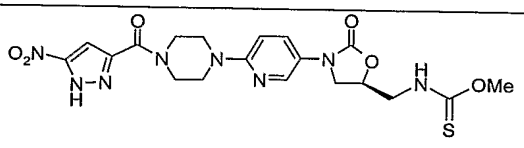
To a solution of (*S*)-N-[3-[2-(piperazin-1-yl)pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate (300 mg, 0.0008547 moles) (obtained from preparation 7) in dry THF (10 ml), 5-nitro-furan-2-carbonylchloride [which was prepared by reacting 5-nitro-2-furoic acid (201 mg, 0.001282 moles) with thionylchloride (5 ml) and triethylamine (388 mg, 0.003846 moles)] was added at 0 °C and stirred at room temperature for 4 hours. The reaction mixture was diluted with ethyl acetate and washed with sodium bicarbonate solution, water and brine. Dried over anhydrous sodium sulphate, concentrated

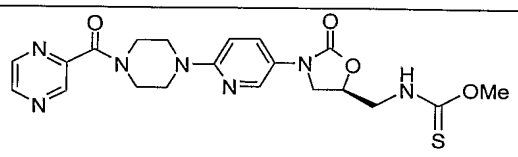
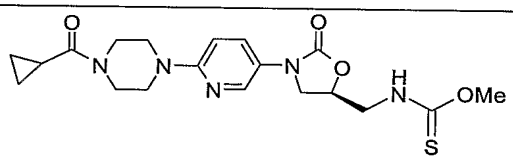
to dryness and purified the residue over silica gel column using dichloromethane and methanol mixture as eluent to afford the title compound (167 mg, yield 40%), mp : 148-149 °C.

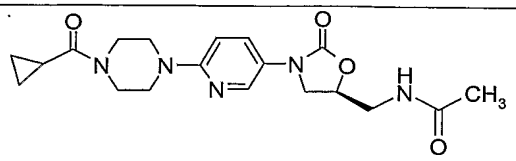
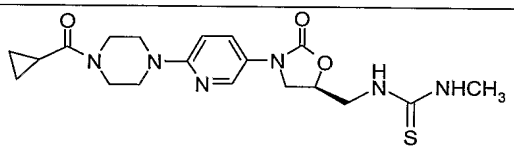
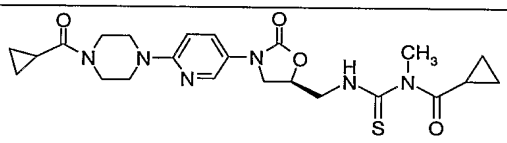
^{1}HNMR ($CDCl_3$) δ : 3.66 (s, 4H), 3.84-3.86 (t, 4H), 3.88 (s, 3H), 3.95-3.97 (t, 1H), 3.99-4.01 (m, 2H), 4.07-4.09 (t, 1H), 4.93 (bs, 1H), 6.71-6.73 (d, 1H), 7.23-7.25 (t, 1H), 7.37-7.38 (d, 1H), 7.93-7.95 (d, 1H), 7.97-7.98 (bs, 1H), 8.15 (s, 1H).

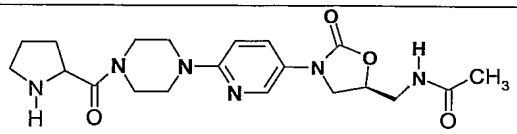
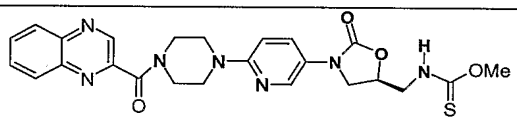
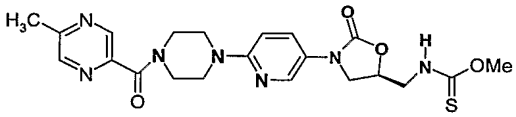
Mass (M^++1) : 491

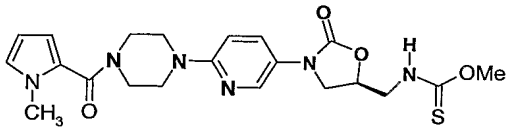
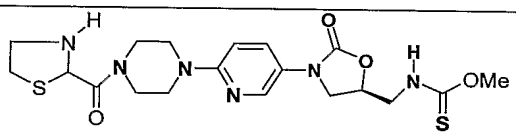
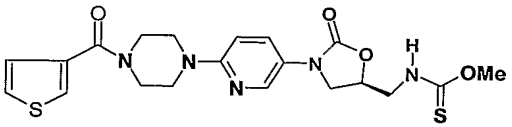
The following compounds were prepared according to the procedure given in example 1.

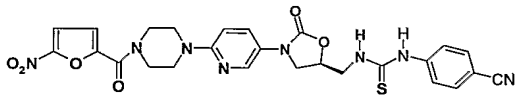
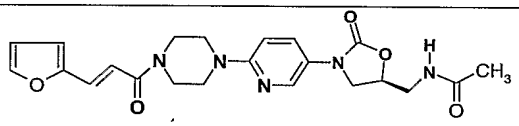
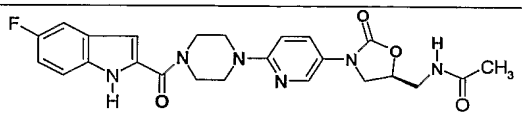
Example No.	Structure	Analytical Data
2	 Gummy material,	^{1}HNMR ($CDCl_3$) δ : 2.03 (s, 3H), 3.58-3.60 (t, 4H), 3.62-3.66 (m, 4H), 3.73-3.76 (bs, 2H), 3.90-4.01 (t, 2H), 4.79 (bs, 1H), 5.99 (s, 1H, D_2O exchangeable), 6.70-6.73 (d, 1H), 7.23-7.24 (d, 1H), 7.37-7.38 (d, 1H), 7.92-7.95 (d, 1H), 8.16-8.17 (s, 1H). Mass (M^++1) : 459
3	 mp : 149-151 °C	^{1}HNMR ($CDCl_3$) δ : 3.58-3.60 (s, 4H), 3.89-3.90 (t, 4H), 3.91-3.92 (s, 3H), 3.93-3.95 (m, 1H), 3.96-3.97 (t, 2H), 4.09-4.11 (t, 2H), 4.94 (bs,

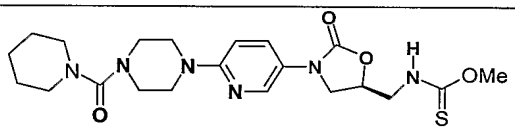
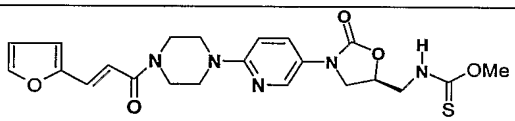
		1H), 6.90-6.92 (d, 1H), 7.31-7.33 (d, 1H), 7.68-7.69 (bs, 1H, D_2O exchangeable), 7.82-7.86 (d, 1H), 8.25 (s, 1H). Mass (M^++1) : 491
4	 mp : 65-70 °C	^{1}HNMR ($CDCl_3$) δ : 3.60-3.62 (t, 4H), 3.64-3.68 (m, 4H), 3.95-3.98 (d, 1H), 4.01 (s, 3H), 4.06-4.09 (m, 3H), 4.92-4.94 (m, 1H), 6.67-6.68 (bs, 1H, D_2O exchangeable), 6.70-6.72 (d, 1H), 7.92-7.95 (d, 1H), 8.14 (s, 1H), 8.57-8.67 (d, 1H), 9.01 (s, 1H). Mass (M^++1) : 458
5	 mp : 169-170 °C	^{1}HNMR ($CDCl_3$) δ : 1.01-1.03 (t, 2H), 1.25 (s, 2H), 1.89-1.90 (m, 1H), 3.51-3.54 (t, 4H), 3.61-3.62 (s, 4H), 3.99-4.01 (s, 3H), 4.02-4.06 (t, 2H), 4.07-4.08 (d, 2H), 4.91-4.92 (bs, 1H), 6.67(bs, 1H, D_2O exchangeable), 6.68-6.70 (d, 2H), 7.91-7.93 (d, 1H), 8.13-8.14 (s, 1H). Mass (M^++1) : 420

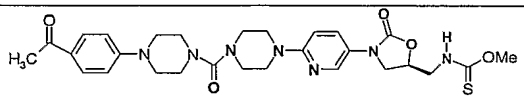
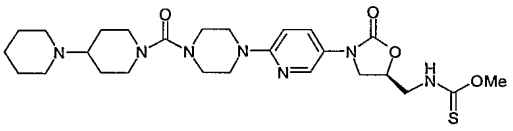
6		^{1}HNMR ($CDCl_3$) δ : 1.01-1.03 (t, 2H), 1.25 (s, 2H), 1.77-1.78 (m, 1H), 2.03 (s, 3H), 3.04-3.08 (t, 4H), 3.60-3.64 (m, 4H), 3.69-3.72 (m, 1H), 3.75-3.80 (t, 2H), 3.99-4.03 (t, 1H), 4.79 (bs, 1H), 6.13 (bs, 1H, D_2O exchangeable), 6.67-6.69 (d, 1H), 7.87-7.89 (d, 1H), 8.15 (s, 1H).
7		^{1}HNMR ($CDCl_3$) δ : 1.00-1.02 (t, 2H), 1.24-1.26 (m, 2H), 1.89 (s, 1H), 3.01 (s, 3H), 3.48-3.54 (m, 4H), 3.65-3.68 (t, 4H), 3.75-3.78 (t, 2H), 3.98-4.04 (m, 2H), 4.78 (bs, 1H), 6.65-6.67 (d, 2H), 7.54 (bs, 1H, D_2O exchangeable), 7.77-7.80 (bs, 1H, D_2O exchangeable), 8.17-8.18 (s, 1H).
8		^{1}HNMR ($CDCl_3$) δ : 1.01-1.04 (t, 4H), 1.24-1.28 (m, 4H), 1.80-1.82 (m, 2H), 2.93 (s, 3H), 3.47-3.49 (t, 4H), 3.76-3.79 (m, 4H), 3.87-3.88 (t, 2H), 3.98-4.00 (s, 2H), 4.69 (bs, 1H), 6.67-6.69 (d, 1H),

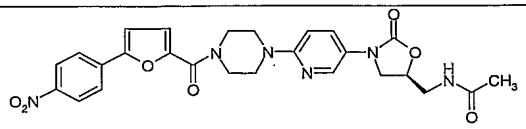
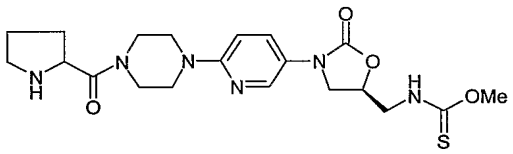
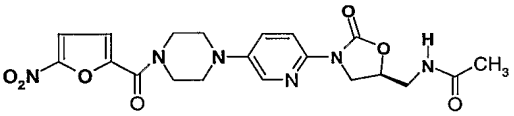
		7.39 (bs, 1H, D_2O exchangeable), 7.89-7.92 (d, 1H), 8.16-8.17 (s, 1H).
9	 Sticky mass.	^{1}HNMR ($CDCl_3$) δ : 1.6-2.0 (m, 4H), 3.75-3.82 (m, 4H), 4.03-4.07 (t, 1H), 4.82 (m, 1H), 5.30 (s, 1H), 6.12 (bs, 1H, D_2O exchangeable), 6.72-6.74 (d, 1H), 7.33 (s, 1H), 7.97-7.99 (d, 1H), 8.17 (s, 1H). Mass - M^++1 at 416.2.
10	 mp : 146-149 °C	^{1}HNMR ($CDCl_3$) δ : 1.25 (s, 3H), 3.67-3.71 (d, 4H), 3.86-3.88 (m, 1H), 3.93 (d, 2H), 4.01(s, 3H), 4.07-4.09 (m, 3H), 4.90 (m, 1H), 6.70 (bs, 1H, D_2O exchangeable), 6.72-6.74 (d, 1H), 7.84-7.88 (m, 2H), 7.94-7.96 (d, 1H), 8.10-8.18 (m, 2H), 9.23 (s, 1H). Mass - M^++1 at 508.2.
11	 mp : 166-170 °C	^{1}HNMR ($CDCl_3$) δ : 2.64 (s, 3H), 3.59-3.65 (d, 4H), 3.80 (s, 2H), 3.85-3.87 (d, 2H), 4.01(s, 3H), 4.04-4.09 (m, 4H),4.91 (m, 1H), 6.60 (bs, 1H, D_2O exchangeable), 6.69-

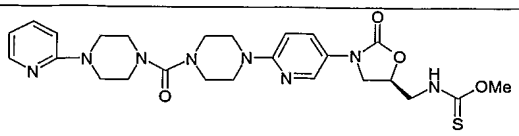
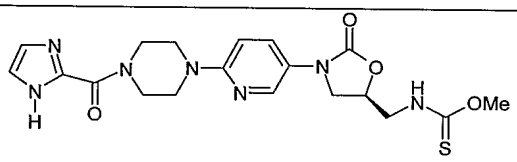
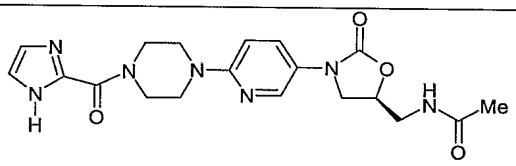
		6.71 (d, 1H), 7.91-7.92 (d, 1H), 8.13-8.14 (s, 1H), 8.43 (s, 1H), 8.89 (s, 1H). Mass - M^++1 at 472.2.
12	 mp : **169-171 °C**	^{1}HNMR ($CDCl_3$) δ : 3.58-3.59 (s, 4H), 3.80 (s, 3H), 3.87-3.89 (s, 4H), 4.01 (s, 3H), 4.06-4.09 (m, 4H), 4.92 (m, 1H), 6.01 (s, 1H), 6.03 (s, 1H), 6.60 (bs, 1H, D_2O exchangeable), 6.69-6.72 (d, 2H), 7.94-7.95 (d, 1H), **8.13-8.13 (s, 1H).** Mass - M^++1 at 459.3.
13	 mp : 100-104 °C	^{1}HNMR ($CDCl_3$) δ : 2.81-2.91 (d, 2H), 3.12 (s, 1H), 3.48-3.50 (s, 1H), 3.51-3.57 (s, 4H), 3.58-3.60 (s, 2H), 3.85-3.90 (s, 4H), 4.00 (s, 3H), 4.08 (s, 2H), 4.93 (s, 1H), 5.06 (s, 1H), 6.71 (d, 1H), 6.73 (bs, 1H, D_2O exchangeable), 7.94 (d, 1H), 8.13 (s, 1H). Mass - M^++1 at 467.2.
14		^{1}HNMR ($CDCl_3$) δ : 3.57 (s, 4H), 3.83-3.85 (m, 6H), 3.99-4.00 (s, 3H), 4.06-4.08 (d, 2H), 4.92 (m, 1H), 6.69-6.71

	mp : 167-169 °C	(d, 1H), 6.92 (bs, 1H, D_2O exchangeable), 7.21-7.22 (d, 1H), 7.36-7.38 (t, 1H), 7.56 (s, 1H), 7.91-7.93 (d, 1H), 8.13 (s, 1H). Mass - M^++1 at 462.2.
15	 mp : 115-128 °C	^{1}HNMR ($CDCl_3$) δ : 3.54-3.58 (s, 4H), 3.73-3.77 (s, 4H), 3.86 (s, 2H), 4.04 (m, 2H), 4.92 (m, 1H), 6.76 (bs, 1H, D_2O exchangeable), 7.24-7.26 (d, 1H), 7.37 (d, 2H), 7.55-7.61 (s, 4H), 7.99 (s, 1H), 8.08 (d, 1H). Mass: **M^++1 at 577.2.**
16	 mp : 110-115 °C	^{1}HNMR ($CDCl_3$) δ: 2.03 (s, 3H), 3.54-3.58 (s, 4H), 3.62-3.63 (s, 1H), 3.73-3.77 (m, 4H), 3.86 (s, 2H), 4.04 (t, 1H), 4.93 (m, 1H), 6.10 (bs, 1H, D_2O exchangeable), 6.46-6.48 (d, 1H), 6.57-6.58 (s, 1H), 6.68-6.70 (d, 1H), 7.46-7.48 (d, 1H), 7.51 (s, 1H), 7.88-7.91 (d, 1H), 8.15 (s, 1H). Mass: M^++1 at 440.2.
17		^{1}HNMR ($CDCl_3$) δ : 2.03 (s, 3H), 3.62 (m, 2H), 3.66-3.68

OCID0403	mp : 205-208 °C	(s, 4H), 3.76-3.78 (m, 2H), 3.66-3.68 (s, 4H), 3.76-3.78 (m, 2H), 4.02-4.06 (s, 4H), 4.92 (m, 1H), 6.1 (bs, 1H, D_2O exchangeable), 6.69-6.72 (d, 1H), 6.78 (s, 1H), 7.06 (t, 1H), 7.30-7.31 (d, 1H), 7.35-7.39 (d, 1H), 7.88-7.91 (d, 1H), 8.16-8.17 (d, 1H). Mass: M^++1 at 481.2.
18	 Sticky compound	^{1}HNMR ($CDCl_3$) δ : 1.59-1.64 (m, 6H), 3.24-3.25 (s, 4H), 3.34-3.36 (s, 4H), 3.51-3.53 (t, 4H), 3.83-3.86 (t, 1H), 3.98 (m, 1H), 4.00 (s, 3H), 4.03-4.08 (m, 2H), 4.91-4.94 (m, 1H), 6.67-6.70 (d, 1H), 6.76 (bs, 1H, D_2O exchangeable), 7.88-7.91 (d, 1H), 8.12-8.13 (s, 1H). Mass: M^++1 at 448.2.
19	 mp : 135-138 ° C	^{1}HNMR ($CDCl_3$) δ : 3.63-3.78 (d, 4H), 3.83-3.85 (d, 4H), 3.87 (s, 1H), 3.94-3.98 (m, 1H), 4.01 (s, 3H), 4.04-4.08 (m, 2H), 4.92 (m, 1H), 6.46-6.47 (d, 1H), 6.57-6.58 (d, 1H), 6.68-6.70 (d, 1H), 6.71

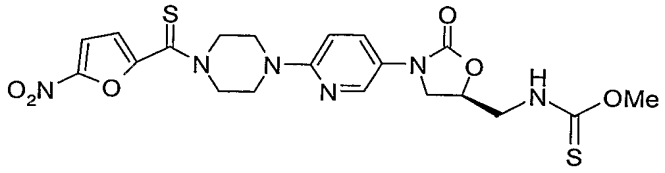
		(bs, 1H, D_2O exchangeable), 6.80-6.84 (d, 1H), 7.46-7.48 (d, 1H), 7.51 (s, 1H), 7.90-7.93 (t, 1H), 8.14 (s, 1H). Mass: M^++1 at 472.2.
20	 mp : 137-139 °C	^{1}HNMR ($CDCl_3$) δ : 2.53 (s, 3H), 3.38-3.39 (s, 4H), 3.42-3.49 (m, 8H), 3.54-3.55 (s, 4H), 3.83-3.85 (t, 1H), 3.98 (m, 1H), 4.01 (s, 3H), 4.04-4.09 (m, 2H), 4.92 (m, 1H), 6.68-6.71 (d, 1H), 6.72 (bs, 1H, D_2O exchangeable), 6.87-6.89 (d, 2H), 7.88-7.93 (m, 3H), 8.13-8.14 (d, 1H). Mass: M^++1 at 582.2.
21		^{1}HNMR ($CDCl_3$) δ : 1.58-1.68 (m, 10 H), 2.86-2.88 (t, 4H), 3.36-3.39 (s, 4H), 3.51-3.53 (s, 4H), 3.85-3.87 (d, 2H), 3.90-3.92 (m, 4H), 3.99-4.00 (s, 3H), 4.06-4.08 (d, 2H), 4.93 (m, 1H), 6.67-6.69 (d, 1H), 6.74 (bs, 1H, D_2O exchangeable), 7.88-7.91 (d, 1H), 8.13-8.14 (s, 1H). Mass: M^++1 at 546.3.

22	 mp : 233-237 °C	^{1}HNMR ($CDCl_3$) δ : 2.17 (s, 3H), 3.09 (m, 1H), 3.25 (d, 1H), 3.35 (d, 1H), 3.43 (s, 1H), 3.94 (m, 4H), 4.02-4.07 (m, 4H), 4.86 (m, 1H), 6.72-6.74 (d, 1H), 6.75-6.76 (bs, 1H, D_2O xchangeable), 6.96-6.97 (s, 1H), 7.16-7.17 ((s, 1H), 7.83-7.85 (d, 2H), 7392-7.94 (d, 1H), 8.17 (s, 1H), 8.28-8.31 (d, 2H). Mass: M^++1 at 535.3
23	 Sticky compound	^{1}HNMR ($CDCl_3$) δ : 1.54-1.58 (d, 2H), 3.38-3.44 (d, 4H), 3.50-3.53 (m, 10H), 3.86-3.90 (t, 2H), 4.00 (s, 3H), 4.04-4.08 (m, 2H), 4.87 (t, 1H), 4.94 (m, 1H), 6.70-6.73 (d, 1H), 7.56-7.89 (d, 1H), 8.15 (s, 1H). Mass: M^++1 at 449.2.
24	 mp : 156-161 °C	^{1}HNMR ($CDCl_3$) δ : 2.02 (s, 3H), 3.26 (s, 4H), 3.40 (s, 1H), 3.7-4.1 (m, 6H), 4.29 (t, 1H), 4.82 (m, 1H), 5.96 (bs, 1H, D_2O exchangeable), 7.24-7.26 (d, 1H), 7.37 (d, 2H), 7.99 (s, 1H), 8.08 (d, 1H). Mass - M^++1 at 459.3.

25	 mp : 134-138 °C	^{1}HNMR ($CDCl_3$) δ : 3.41-3.45 (m, 8H), 3.54-3.56 (s, 4H), 3.64 (s, 4H), 3.85 (t, 1H), 4.01 (s, 3H), 4.06-4.07 (d, 1H), 4.08 (d, 2H), 4.94 (m, 1H), 6.66-6.68 (t, 3H), 6.71 (bs, 1H, D_2O exchangeable), 7.51 (t, 1H), 7.90-7.93 (d, 1H), 8.13 (s, 1H), 8.20-8.21 (d, 1H). Mass: M^++1 at 541.4.
26	 mp : 182-185 °C	^{1}HNMR ($CDCl_3$) δ : 3.65 (s, 4H), 3.84-3.86 (m, 4H), 4.00 (s, 3H), 4.04 -4.08 (m, 2H), 4.78 (s, 2H), 4.95 (m, 1H), 5.30 (s, 1H), 6.70-6.73 (d, 1H), 6.90 (bs, 1H, D_2O exchangeable), 7.18 (s, 2H), 7.94-7.96 (d, 1H), 8.14 (s, 1H). Mass: M^++1 at 446.3.
27	 mp : 92.3-96.4 °C	^{1}HNMR ($CDCl_3$) δ : 2.03 (s, 3H), 3.57-3.60 (m, 4H), 3.61-3.63 (s, 1H), 3.73-3.76 (m, 4H), 3.78-3.81 (m, 2H), 4.01-4.06 (t, 1H), 4.79 (m, 1H), 5.3 (s, 1H), 6.11 (s, 1H), 6.69-6.70 (d, 1H), 6.71 (bs, 1H, D_2O exchangeable), 7.26 (s, 1H), 7.91-7.93 (d, 1H), 8.16-8.17 (s, 1H). Mass: M^++1 at 414.

Example 28

Synthesis of (*S*)-N-[3-[2-[4-(N-5-nitrofuran-2-ylthiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate



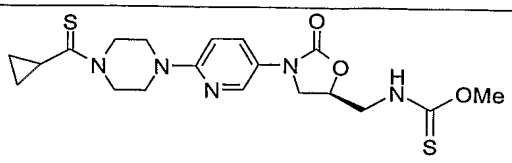
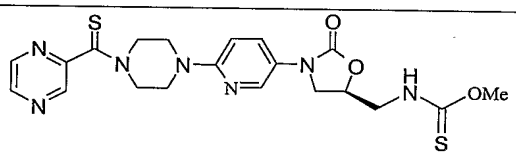
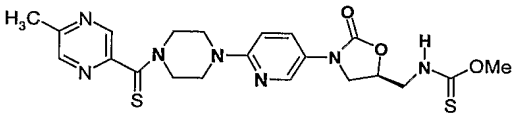
To a solution of (*S*)-N-[3-[2-[4-(N-5-nitrofuran-2-ylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate obtained from example 1 (100 mg, 0.00020408 moles) in dry toluene (10 ml), Lawessons reagent (90 mg, 0.0002244 mole) was added and heated the contents at 100 °C for 3 hours. The reaction mixture was diluted with ethyl acetate and washed with water and brine solution. Dried over anhydrous sodium sulphate, concentrated to dryness and purified over silica gel using a mixture of chloroform and methanol mixture as eluent to afford the title compound (61 mg, yield 60%).

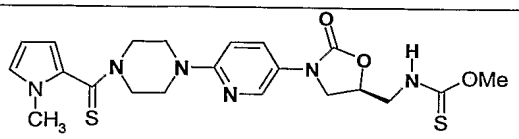
^{1}HNMR ($CDCl_3$) δ : 3.78 (bs, 4H), 3.84-3.88 (t, 4H), 4.01 (s, 3H), 4.07-4.09 (t, 2H), 4.12-4.14 (s, 1H), 4.41-4.42 (t, 1H), 4.93-4.94 (m, 1H), 6.65 (bs, 1H, D_2O exchangeable), 6.70-6.72 (d, 1H), 7.20-7.21 (t, 1H), 7.33-7.34 (d, 1H), 7.96-7.97 (d, 1H), 8.15 (s, 1H).

Mass (M^++1) : 507

The following compounds were prepared according to the procedure given in example 28.

Example No.	Structure	Analytical Data

29	 mp : 74-75 °C	^{1}HNMR ($CDCl_3$) δ : 1.01-1.03 (t, 2H), 1.34-1.36 (s, 2H), 2.04-2.06 (m, 1H), 3.65-3.67 (t, 4H), 3.78-3.80 (s, 4H), 4.01 (s, 3H), 4.09-4.13 (m, 2H), 4.48-4.50 (d, 2H), 4.97-4.98 (bs, 1H), 6.66-6.68 (d, 1H), 6.91 (s, 1H, D_2O exchangeable), 7.89-7.91 (d, 1H), 8.15 (s, 1H). Mass (M^++1) : 436
30	 mp : 55-60 °C	^{1}HNMR ($CDCl_3$) δ : 3.67-3.69 (t, 4H), 3.76-3.81 (m, 4H), 4.01 (s, 3H), 4.09-4.12 (t, 2H), 4.51-4.52 (d, 2H), 4.97 (bs, 1H), 6.69-6.70 (bs, 1H, D_2O exchangeable), 6.71-6.72 (s, 1H), 7.89-7.90 (d, 1H), 8.24 (s, 1H), 8.47 (s, 1H), 8.55-8.56 (d, 1H), 8.94 (s, 1H). Mass (M^++1) : 474
31	 mp : 198-202 °C	^{1}HNMR ($CDCl_3$) δ : 2.61 (s, 3H), 3.65 (s, 3H), 3.65 (s, 3H), 3.79 (s, 5H), 4.01 (s, 3H), 4.09 (m, 2H), 4.50 (s, 2H), 4.92 (bs, 1H, D_2O exchangeable), 7.93 (d, 1H), 8.14 (s, 1H), 8.33 (s, 1H), 8.83

		(s, 1H). Mass - M^++1 at 488.2.
32	 mp : 136-142 °C	^{1}HNMR ($CDCl_3$) δ : 3.64 (s, 4H), 3.77 (s, 3H), 3.83-3.87 (m, 2H), 4.00 (s, 3H), 4.04-4.11 (m, 4H), 4.92 (m, 1H), 6.10-6.11 (t, 1H), 6.15-6.17 (d, 1H), 6.69-6.71 (d, 2H), 6.76 (bs, 1H, D_2O exchangeable), 7.92-7.95 (d, 1H), 8.14-8.15 (s, 1H). Mass - M^++1 at 475.1.

Antimicrobial Testing

The compounds of invention showed *in vitro* antibacterial activity when tested by the Agar Dilution Method as specified in documents published by the National Committee for Clinical Laboratory Standards (NCCLS), USA.

Briefly, the compounds of invention were weighed, dissolved in Dimethyl Sulfoxide, serially diluted in the same solvent and then incorporated into molten Mueller Hinton Agar in a petridish before solidification, with each petridish containing a different concentration of a compound.

The Bacterial Inoculum was prepared by touching the tops of 3 to 5 well isolated bacterial colonies with the same morphological appearance from an 18 hour old culture with an inoculating loop, transferring the growth to a tube containing 5ml of normal saline and adjusting the turbidity of the saline suspension to 0.5 Macfarland Turbidity Standard equivalent to a bacterial population of 1.5 x 10^8 colony forming units (CFU) per milliliter of suspension.

The bacterial inoculum prepared in the above manner was inoculated onto petri dishes containing Mueller Hinton Agar which had earlier been incorporated with different dilutions of the compounds of invention by a Multipoint Inoculator with each inoculum spot containing approximately 1 x 10^4 colony forming units (CFU) of bacteria.

The inoculated petridishes were incubated at 35°Celsius in an ambient atmosphere for 20 hours. Petridishes containing different concentrations of Vancomycin and Oxacillin and inoculated with *Staphylococcus aureus*, Coagulase Negative *Staphylococci* and *Enterococci* were incubated for 24 hours.

The petridishes after incubation, were placed on a dark non reflecting surface and the Minimum Inhibitory Concentration (MIC) recorded as the concentration which showed no growth of the inoculated culture.

The minimum inhibitory concentrations (μg/ml) were obtained for representative compounds of the invention are given in the table 1:

S.aureus - *Staphylococus aureus*

Ent. Faecalis - *Enterococcus faecalis*

E. faecium - *Enterococcus* faecium

ATCC – American Type Culture Collection

MRO - Microbial Resource Orchid

Table 1

MIC (mg/ml

S. No	Organism	Vancomycin	Synercid	Linezolid ORC	Example No.					
					2	3	1	5	29	8
1	*S.aureus MRO 00013*	2	0.5	4	2	16	0.5	2	2	>8
2	*S.aureus MRO 00055*	2	0.5	4	2	16	0.5	2	2	>8
3	*S.epidermidis MRO 02046*	2	0.25	2	0.25	2	0.5	1	1	>8
4	*S.haemolyticus MRO 02053*	2	0.5	2	0.5	16	0.5	2	2	>8
5	*S.aureus MRO 00001*	2	0.5	2	1	8	0.5	2	2	>8
6	*S.aureus MRO 00003*	2	0.5	2	4	16	0.5	2	2	>8
7	*S.aureus MRO 00030*	2	0.25	4	1	8	0.5	2	2	>8
8	*S.aureus MRO 00048*	2	0.5	2	1	8	0.5	2	1	>8
9	*S.aureus MRO 00059*	2	1	2	2	8	0.5	2	2	>8
10	*S.epidermidis MRO 02002*	4	0.25	2	0.25	2	0.5	2	2	>8
11	*S.epidermidis MRO 02045*	2	0.25	1	0.25	4	0.5	2	2	>8
12	*S.epidermidis MRO 02095*	2	0.25	2	0.5	4	0.5	2	2	>8
13	*S.saprophyticus MRO 02003*	2	1	2	1	8	0.5	2	2	>8
14	*S.haemolyticus MRO 02064*	2	0.5	1	1	4	0.5	1	1	>8
15	*E..faecalis MRO 04045*	2 ?	0.5	2	4	16	0.5	1	2	>8
16	*E.faecalis MRO 04034*	>32	16	4	1	4	0.5	2	2	>8
17	*E.faecalis MRO 04035*	32	8	2	1	4	0.5	2	2	>8
18	*E.faecium MRO 04036*	2 ?	4	4	1	4	0.5	2	2	>8
19	*E.faecium MRO 04037*	>32	0.5	2	0.5	2	0.5	2	2	>8
20	*E.faecium MRO 04038*	>32	16	2	1	2	0.5	2	2	>8
21	*E.faecalis ATCC 51299*	32	16	2	1	2	0.5	2	1	>8
22	*E.faecium ATCC 700221*	32	16	2	1	2	0.5	2	2	>8
23	*E.faecalis ATCC 29212*	4	4	2	1	4	0.5	2	2	>8
24	*S.aureus ATCC 29213*	2	0.5	4	0.5	16	0.5	4	2	>8
25	*S.aureus ATCC 43300*	2	1	4	2	8	0.5	2	1	>8
26	*M.catarrhalis ATCC 43617*	>32	0.25	4	-	-	0.5	8	4	>8
27	*M.catarrhalis ATCC 43627*	>32	0.25	4	-	-	0.5	8	4	>8
28	*M.catarrhalis ATCC 43628*	16	0.25	4	-	-	0.5	8	4	>8
29	*E.coli ATCC 25922*	>32	>16	>8	>4	>16	16	>8	>8	>8
30	*P.aeruginosa ATCC 27853*	>32	>16	>8	>4	>16	>64	>8	>8	>8

Table 1 (Contd.)

S. No	Organism	Vancomycin	Synercid	Linezolid ORC	Example No.					
					7	4	6	28	30	9
1	*S.aureus MRO 00013*	2	0.5	4	>8	4	>8	1	4	>8
2	*S.aureus MRO 00055*	2	0.5	4	>8	4	>8	1	4	>8
3	*S.epidermidis MRO 02046*	2	0.25	2	>8	2	8	0.5	2	>8
4	*S.haemolyticus MRO 02053*	2	0.5	2	>8	4	>8	0.5	4	>8
5	*S.aureus MRO 00001*	2	0.5	2	>8	2	>8	0.5	2	>8
6	*S.aureus MRO 00003*	2	0.5	2	>8	4	>8	0.5	4	>8
7	*S.aureus MRO 00030*	2	0.25	4	>8	4	>8	0.5	4	>8
8	*S.aureus MRO 00048*	2	0.5	2	>8	2	>8	0.5	2	>8
9	*S.aureus MRO 00059*	2	1	2	>8	4	>8	0.5	4	>8
10	*S.epidermidis MRO 02002*	4	0.25	2	>8	2	8	0.5	2	>8
11	*S.epidermidis MRO 02045*	2	0.25	1	>8	2	8	0.5	4	>8
12	*S.epidermidis MRO 02095*	2	0.25	2	>8	4	>8	0.5	4	>8
13	*S.saprophyticus MRO 02003*	2	1	2	>8	4	>8	0.5	4	>8
14	*S.haemolyticus MRO 02064*	2	0.5	1	>8	1	8	0.5	2	>8
15	*E..faecalis MRO 04045*	2 ?	0.5	2	>8	4	8	1	4	>8
16	*E.faecalis MRO 04034*	>32	16	4	>8	4	>8	1	4	>8
17	*E.faecalis MRO 04035*	32	8	2	>8	4	>8	1	4	>8
18	*E.faecium MRO 04036*	2 ?	4	4	>8	4	>8	1	4	>8
19	*E.faecium MRO 04037*	>32	0.5	2	>8	2	>8	0.5	2	>8
20	*E.faecium MRO 04038*	>32	16	2	>8	4	>8	0.5	4	>8
21	*E.faecalis ATCC 51299*	32	16	2	>8	2	>8	0.5	2	>8
22	*E.faecium ATCC 700221*	32	16	2	>8	4	>8	0.5	2	>8
23	*E.faecalis ATCC 29212*	4	4	2	>8	2	>8	0.5	4	>8
24	*S.aureus ATCC 29213*	2	0.5	4	>8	4	>8	0.5	4	>8
25	*S.aureus ATCC 43300*	2	1	4	>8	2	>8	0.5	4	>8
26	*M.catarrhalis ATCC 43617*	>32	0.25	4	>8	8	>8	0.5	>8	>8
27	*M.catarrhalis ATCC 43627*	>32	0.25	4	>8	>8	>8	1	>8	>8
28	*M.catarrhalis ATCC 43628*	16	0.25	4	>8	>8	>8	1	>8	>8
29	*E.coli ATCC 25922*	>32	>16	>8	>8	>8	>8	>8	>8	>8
30	*P.aeruginosa ATCC 27853*	>32	>16	>8	>8	>8	>8	>8	>8	>8

Table 1 (Contd.)

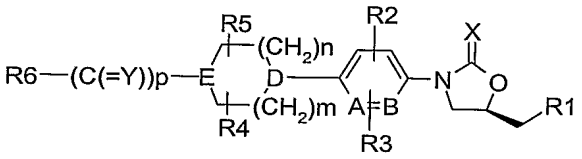
S. No	Organism	Vancomycin	Synercid	Linezolid ORC	Example No.					
					10	11	12	13	14	31
1	*S.aureus MRO 00013*	2	0.5	4	4	8	8	4	2	16
2	*S.aureus MRO 00055*	2	0.5	4	4	8	8	4	2	16
3	*S.epidermidis MRO 02046*	2	0.25	2	4	8	2	4	2	16
4	*S.haemolyticus MRO 02053*	2	0.5	2	2	4	1	2	1	8
5	*S.aureus MRO 00001*	2	0.5	2	2	4	1	4	2	16
6	*S.aureus MRO 00003*	2	0.5	2	2	4	4	4	4	16
7	*S.aureus MRO 00030*	2	0.25	4	2	8	4	4	4	16
8	*S.aureus MRO 00048*	2	0.5	2	1	8	4	4	4	8
9	*S.aureus MRO 00059*	2	1	2	2	8	4	4	4	8
10	*S.epidermidis MRO 02002*	4	0.25	2	2	8	4	4	4	8
11	*S.epidermidis MRO 02045*	2	0.25	1	2	8	4	4	4	8
12	*S.epidermidis MRO 02095*	2	0.25	2	2	4	4	4	4	8
13	*S.saprophyticus MRO 02003*	2	1	2	2	4	4	4	4	8
14	*S.haemolyticus MRO 02064*	2	0.5	1	1	4	8	2	2	4
15	*E..faecalis MRO 04045*	2 ?	0.5	2	2	4	8	2	2	8
16	*E.faecalis MRO 04034*	>32	16	4	2	4	2	2	2	16
17	*E.faecalis MRO 04035*	32	8	2	2	4	2	4	2	16
18	*E.faecium MRO 04036*	2 ?	4	4	2	8	2	4	2	16
19	*E.faecium MRO 04037*	>32	0.5	2	2	4	1	2	2	16
20	*E.faecium MRO 04038*	>32	16	2	1	4	1	2	2	16
21	*E.faecalis ATCC 51299*	32	16	2	1	2	1	4	4	16
22	*E.faecium ATCC 700221*	32	16	2	1	2	2	4	2	8
23	*E.faecalis ATCC 29212*	4	4	2	2	>8	8	4	4	16
24	*S.aureus ATCC 29213*	2	0.5	4	2	>8	8	4	4	16
25	*S.aureus ATCC 43300*	2	1	4	2	4	2	2	2	4
26	*M.catarrhalis ATCC 43617*	>32	0.25	4	2	>8	4	8	4	16
27	*M.catarrhalis ATCC 43627*	>32	0.25	4	2	>8	4	8	4	>16
28	*M.catarrhalis ATCC 43628*	16	0.25	4	2	>8	4	8	4	>16
29	*E.coli ATCC 25922*	>32	>16	>8	>8	>8	>16	>16	>16	>16
30	*P.aeruginosa ATCC 27853*	>32	>16	>8	>8	>8	>16	>16	>16	>16

Table 1 (Contd.)

S. No	Organism	Vancomycin	Synercid	Linezolid ORC	Example No.						
					32	18	19	20	21	22	23
1	*S.aureus MRO 00013*	2	0.5	4	2	8	2	4	>16	>16	>16
2	*S.aureus MRO 00055*	2	0.5	4	2	8	2	4	>16	>16	>16
3	*S.epidermidis MRO 02046*	2	0.25	2	2	4	1		16	2	>16
4	*S.haemolyticus MRO 02053*	2	0.5	2	1	4	1	2	16	4	>16
5	*S.aureus MRO 00001*	2	0.5	2	1	4	1	2	>16	4	>16
6	*S.aureus MRO 00003*	2	0.5	2	2	8	2	2	>16	16	>16
7	*S.aureus MRO 00030*	2	0.25	4	2	8	1	4	>16	8	>16
8	*S.aureus MRO 00048*	2	0.5	2	1	8	1	2	>16	8	>16
9	*S.aureus MRO 00059*	2	1	2	2	4	1		>16	8	>16
10	*S.epidermidis MRO 02002*	4	0.25	2	2	4	1	2	>16	4	>16
11	*S.epidermidis MRO 02045*	2	0.25	1	1	4	1	2	>16	4	>16
12	*S.epidermidis MRO 02095*	2	0.25	2	2	4	1	2	>16	4	>16
13	*S.saprophyticus MRO 02003*	2	1	2	2	8	2	4	>16	8	>16
14	*S.haemolyticus MRO 02064*	2	0.5	1	0.5	4	1	2	16	4	>16
15	*E..faecalis MRO 04045*	2 ?	0.5	2	2	4	1	4	16	4	>16
16	*E.faecalis MRO 04034*	>32	16	4	2	8	1	2	>16	4	>16
17	*E.faecalis MRO 04035*	32	8	2	1	8	1	2	>16	4	>16
18	*E.faecium MRO 04036*	2 ?	4	4	1	8	1	2	>16	4	>16
19	*E.faecium MRO 04037*	>32	0.5	2	1	4	1	2	16	4	>16
20	*E.faecium MRO 04038*	>32	16	2	1	4	1	2	>16	8	>16
21	*E.faecalis ATCC 51299*	32	16	2	1	4	1	2	16	4	>16
22	*E.faecium ATCC 700221*	32	16	2	1	4	1	2	>16	4	>16
23	*E.faecalis ATCC 29212*	4	4	2	1	8	1	4	>16	4	>16
24	*S.aureus ATCC 29213*	2	0.5	4	2	8	2	4	>16	16	>16
25	*S.aureus ATCC 43300*	2	1	4	2	4	2	4	>16	16	>16
26	*M.catarrhalis ATCC 43617*	>32	0.25	4	4	16	4	8	>16	16	>16
27	*M.catarrhalis ATCC 43627*	>32	0.25	4	4	16	4	8	>16	16	>16
28	*M.catarrhalis ATCC 43628*	16	0.25	4	4	16	4	8	>16	16	>16
29	*E.coli ATCC 25922*	>32	>16	>8	>16	>16	>16	>8	>16	>16	>16
30	*P.aeruginosa ATCC 27853*	>32	>16	>8	>16	>16	>16	>8	>16	>16	>16

We claim :

1. A compound of formula (I)



(I)

their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, wherein X and Y represent oxygen or sulfur; R^1 represents halogen, azido, nitro, cyano, substituted or unsubstituted group selected from TR^7, wherein T represents O or S; R^7 represents hydrogen, formyl, substituted or unsubstituted groups selected from $(C_1\text{-}C_6)$alkyl, cycloalkyl, aryl, aralkyl, acyl, thioacyl, heterocyclyl, heteroaryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl; or R^1 represents $N(R^{8a}R^{8b})$ where R^{8a} and R^{8b} may be same or different and independently represent hydrogen, formyl, substituted or unsubstituted groups selected from $(C_1\text{-}C_6)$alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl or an aminoacid residue which is attached through acid moiety; or R^{8a} and R^{8b} together with nitrogen may represent a mono or bicyclic saturated or unsaturated ring system which may contain one or more heteroatoms selected from O, S or N; or R^1 represents the formula $-NHC(=Z)R^9$ wherein Z represents O or S, R^9 is hydrogen, substituted or unsubstituted groups selected from $(C_1\text{-}C_6)$alkyl, $(C_1\text{-}C_6)$alkoxy, aryl, $(C_3\text{-}C_6)$cycloalkyl, amino, heteroaryl, heterocyclyl, heteroaralkyl, or R^9 represents $N(R^{10}R^{11})$, wherein R^{10} and R^{11} may be same or different and represent hydrogen, substituted or unsubstituted groups selected from alkyl, cycloalkyl, aryl, alkylcarbonyl, arylcarbonyl, cycloalkylcarbonyl, heteroaryl, heteroarylcarbonyl and the like; or R^1 is of the formula $-NHS(O)_r(C_1\text{-}C_4)$alkyl, $-NHS(O)_r$aralkyl or $-NHS(O)_r$heteroaralkyl, where r is 0 to 2; A and B are different and represent CH or N; R^2 and R^3 may be same or different and independently represent hydrogen, halogen, hydroxy,

alkyl, alkoxy; n is an integer of 0 or 1; m is an integer in the range of 1 to 4; D represents CH or N; E represents CH or N; R^4 and R^5 may be same or different and independently represent hydrogen, cyano, nitro, amino, halogen, hydroxyl, substituted or unsubstituted groups selected from (C_1-C_6)alkyl, haloalkyl, (C_1-C_6)alkoxy, (C_1-C_6)alkylthio, (C_3-C_6)cycloalkyl or either of R^4 or R^5 represent an oxo or thiooxo group; p is an integer of 1; R^6 represents a substituted or unsubstituted groups selected from aryl, cycloalkyl, aralkyl, heteroaryl, heteroaralkyl, heteroaralkenyl, heterocyclyl, heterocycloalkyl, heterocycloalkenyl.

2. The compound as heteroaryl group represented by R^6 are substituted or unsubstituted phenyl, naphthyl, phenylmethyl, phenylethyl, naphthylmethyl, naphthylethyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, thienyl, pyridyl, thienyl, furyl, pyrrolyl, oxazolyl, thiazolyl, imidazolyl, isooxazolyl, oxadiazolyl, pyrazolyl, triazolyl, thiadiazolyl, tetrazolyl, pyrimidinyl, pyrazinyl, pyridazinyl, benzopyranyl, indolyl, indolinyl, benzimidazolyl, benzoxazolyl, benzopyrazolyl, benzothiazolyl, benzofuranyl, benzoxadiazolyl, benzothiadiazolyl, benzodioxolyl, quinolinyl, dihydroquinolinyl, tetrahydroquinolinyl, isoquinolinyl, dihydroisoquinolinyl, tetrahydroisoquinolinyl, quinazolinyl, quinoxalinyl, pyrrolidinyl, morpholinyl, thiomorpholinyl, thiazolidinyl, oxazolidinyl, piperidinyl, piperazinyl, heteroaralkyl, heterocycloalkyl, hetero(C_2-C_6)aralkenyl, heterocyclo(C_2-C_6)alkenyl.

3. A compound of formula (I) as claimed in claim 1, which is selected from :

(*S*)-N-[3-[2-[4-(N-5-Nitrofuran-2-ylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-5-Nitrofuran-2-ylthiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-5-Nitrofuran-2-ylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

(*S*)-N-[3-[2-[4-(N-5-Nitrofuran-2-ylthiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thioacetamide ;

(*S*)-N-[3-[2-[4-(N-furan-2-ylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-furan-2-ylthiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-furan-2-ylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

(*S*)-N-[3-[2-[4-(N-furan-2-ylthiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thioacetamide ;

(*S*)-N-[3-[2-[4-(N-5-Nitropyrazol-2-ylthiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thioacetamide ;

(*S*)-N-[3-[2-[4-(N-5-Nitropyrazol-2-ylthiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

(*S*)-N-[3-[2-[4-(N-5-Nitropyrazol-2-ylthiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-5-Nitropyrazol-2-ylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-pyrazine-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

(*S*)-N-[3-[2-[4-(N-pyrazine-2-yl-thiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

(*S*)-N-[3-[2-[4-(N-pyrazine-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-pyrazine-2-yl-thiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-5-methylpyrazin-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-5-methylpyrazin-2-yl-thiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-pyrazine-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thioacetamide ;

(*S*)-N-[3-[2-[4-(N-pyrazine-2-yl-thiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thioacetamide ;

(*S*)-N-[3-[2-[4-(N-1-methylpyrrolyl-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-pyrrolyl-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-thien-2-yl-thiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-furan-2-yl-propenoyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

(*S*)-N-[3-[2-[4-(N-furan-2-yl-propenoyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl] thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-5-fluoroindol-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

(*S*)-N-[3-[2-[4-(N-piperidin-1-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(4-(4-acetylphenyl-1-yl)piperazin-1-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(4-(piperidin-1-yl)piperidin-1-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(4-(4-nitrophenyl-1-yl)furan-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

(*S*)-N-[3-[2-[4-(cyclopropylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(cyclopropylthiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(cyclopropylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

N'-methyl thiourea ;

(*S*)-N-[3-[2-[4-(cyclopropylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]-N'-methyl thiourea ;

(*S*)-N-[3-[2-[4-(cyclopropylthiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

(*S*)-N-[3-[2-[4-(cyclopropylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thioacetamide ;

(*S*)-N-[3-[2-[4-(cyclopropylthiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thioacetamide ;

(*S*)-N-[3-[2-[4-(N-pyrrolidin-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

(*S*)-N-[3-[2-[4-(N-pyrrolidin-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-thiazolidin-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate and

(*S*)-N-[3-[2-[4-(N-quinoxalin-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate.

(*S*)-N-[3-[2-[4-(N-5-nitrofuran-2-ylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]-(N'-4-cyanophenyl)thiourea ;

(*S*)-N-[3-[2-[4-(N-Cyclopropyl-2-ylcarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]-(N'-methyl-N'-cyclopropanecarboxamide) thiourea ;

(*S*)-N-[3-[2-[4-(4-(pyridin-2-yl)piperazin-1-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

(*S*)-N-[3-[2-[4-(4-(pyridin-2-yl)piperazin-1-yl-thiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thioacetamide ;

(*S*)-N-[3-[2-[4-(4-(pyridin-2-yl)piperazin-1-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(4-(pyridin-2-yl)piperazin-1-yl-thiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

(*S*)-N-[3-[2-[4-(N-imidazol-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]acetamide ;

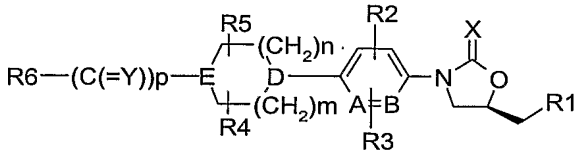
(*S*)-N-[3-[2-[4-(N-imidazol-2-yl-thiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thioacetamide ;

(*S*)-N-[3-[2-[4-(N-imidazol-2-yl-carbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate and

(*S*)-N-[3-[2-[4-(N-imidazol-2-yl-thiocarbonyl)piperazin-1-yl]pyridin-5-yl]-2-oxooxazolidin-5-ylmethyl]thiocarbamate ;

4. The compound as claimed in claim 3, wherein the salt is selected from hydrochloride or hydrobromide.

5. A process for the preparation of compound of the formula (I)

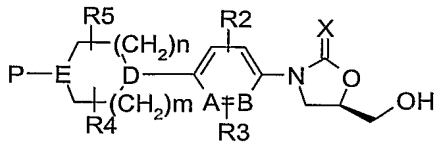


(I)

their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, wherein X and Y represent oxygen or sulfur; R^1 represents halogen, azido, nitro, cyano, substituted or unsubstituted group selected from TR^7, wherein T represents O or S; R^7 represents hydrogen, formyl, substituted or unsubstituted groups selected from $(C_1$-$C_6)$alkyl, cycloalkyl, aryl, aralkyl, acyl, thioacyl,

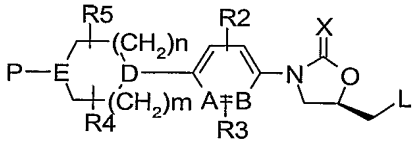
heterocyclyl, heteroaryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl; or R^1 represents $N(R^{8a}R^{8b})$ where R^{8a} and R^{8b} may be same or different and independently represent hydrogen, formyl, substituted or unsubstituted groups selected from (C_1-C_6)alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl or an aminoacid residue which is attached through acid moiety; or R^{8a} and R^{8b} together with nitrogen may represent a mono or bicyclic saturated or unsaturated ring system which may contain one or more heteroatoms selected from O, S or N; or R^1 represents the formula $-NHC(=Z)R^9$ wherein Z represents O or S, R^9 is hydrogen, substituted or unsubstituted groups selected from (C_1-C_6)alkyl, (C_1-C_6)alkoxy, aryl, (C_3-C_6)cycloalkyl, amino, heteroaryl, heterocyclyl, heteroaralkyl, or R^9 represents $N(R^{10}R^{11})$, wherein R^{10} and R^{11} may be same or different and represent hydrogen, substituted or unsubstituted groups selected from alkyl, cycloalkyl, aryl, alkylcarbonyl, arylcarbonyl, cycloalkylcarbonyl, heteroaryl, heteroarylcarbonyl and the like; or R^1 is of the formula $-NHS(O)_r(C_1-C_4)$alkyl, $-NHS(O)_r$aralkyl or $-NHS(O)_r$heteroaralkyl, where r is 0 to 2; A and B are different and represent CH or N; R^2 and R^3 may be same or different and independently represent hydrogen, halogen, hydroxy, alkyl, alkoxy; n is an integer of 0 or 1; m is an integer in the range of 1 to 4; D represents CH or N; E represents CH or N; R^4 and R^5 may be same or different and independently represent hydrogen, cyano, nitro, amino, halogen, hydroxyl, substituted or unsubstituted groups selected from (C_1-C_6)alkyl, haloalkyl, (C_1-C_6)alkoxy, (C_1-C_6)alkylthio, (C_3-C_6)cycloalkyl or either of R^4 or R^5 represent an oxo or thiooxo group; p is an integer of 1; R^6 represents a substituted or unsubstituted groups selected from aryl, cycloalkyl, aralkyl, heteroaryl, heteroaralkyl, heteroaralkenyl, heterocyclyl, heterocycloalkyl, heterocycloalkenyl, which comprises

(i) converting the compound of formula (III)



(III)

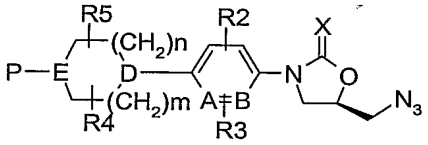
where P represents protecting and all other symbols are as defined earlier to produce a compound of formula (IV)



(IV)

where L represents a leaving group and all other symbols are as defined earlier,

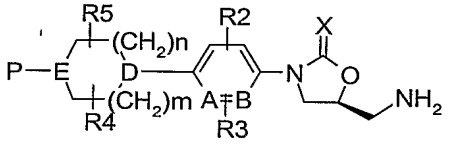
ii) converting the compound of formula (IV) to produce a compound of formula (V)



(V)

where all symbols are as defined earlier,

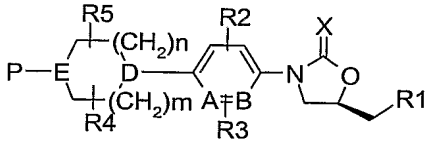
iii) reducing the compound of formula (V) to a compound of formula (VI)



(VI)

where all symbols are as defined earlier,

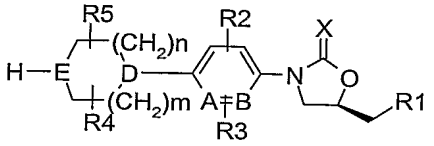
iv) acylating the compound of formula (VI) to produce a compound of formula (VII)



(VII)

where all symbols are as defined earlier,

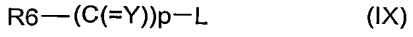
v) deprotecting the compound of formula (VII) to produce a compound formula (VIII),



(VIII)

where all symbols are as defined earlier,

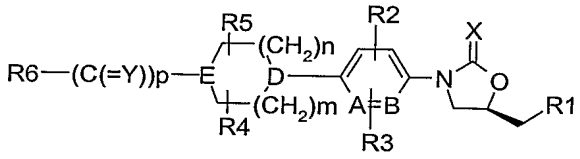
vi) reacting the compound of formula (VIII) with a compound of formula (IX)



(IX)

wherein L is a leaving group and all other symbols are as defined earlier to produce a compound of formula (I).

6. A process for the preparation of compound of the formula (I)

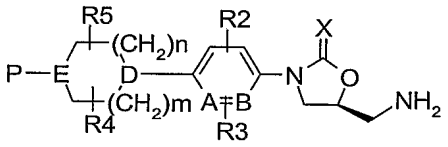


(I)

their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, wherein X and Y represent oxygen or sulfur; R^1 represents –NHC(=Z)R^9 wherein Z represents O or S, R^9 is hydrogen, substituted or unsubstituted groups selected from (C_1-C_6)alkyl, (C_1-C_6)alkoxy, aryl, (C_3-C_6)cycloalkyl, amino, heteroaryl, heterocyclyl, heteroaralkyl, or R^9 represents N($R^{10}R^{11}$), wherein R^{10} and R^{11} may be same or different and represent hydrogen, substituted or unsubstituted groups selected from alkyl, cycloalkyl, aryl, alkylcarbonyl, arylcarbonyl, cycloalkylcarbonyl, heteroaryl, heteroarylcarbonyl and the like; A and B are different and represent CH or N; R^2 and R^3 may be same or different and independently represent hydrogen, halogen, hydroxy, alkyl, alkoxy; n is an integer of 0 or 1; m is an integer in the range of 1 to 4; D represents CH or N; E represents CH or N; R^4 and R^5 may be same or different and independently

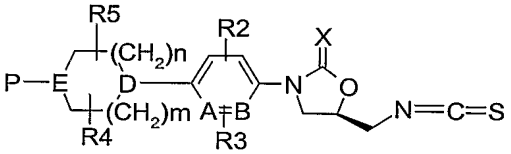
represent hydrogen, cyano, nitro, amino, halogen, hydroxyl, substituted or unsubstituted groups selected from (C_1-C_6)alkyl, haloalkyl, (C_1-C_6)alkoxy, (C_1-C_6)alkylthio, (C_3-C_6)cycloalkyl or either of R^4 or R^5 represent an oxo or thiooxo group; p is an integer of 1; R^6 represents a substituted or unsubstituted groups selected from aryl, cycloalkyl, aralkyl, heteroaryl, heteroaralkyl, heteroaralkenyl, heterocyclyl, heterocycloalkyl, heterocycloalkenyl, which comprises,

i) converting the compound of formula (VI)



(VI)

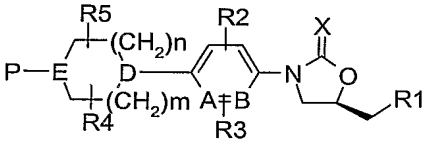
to produce a compound of formula (X)



(X)

where all symbols are as defined earlier,

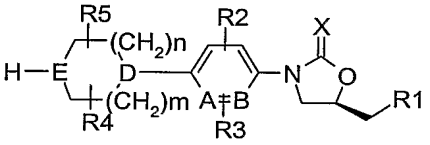
ii) converting the compound of formula (X) to produce a compound of formula (VII)



(VII)

where R^1 is as defined above and all other symbols are as defined earlier and

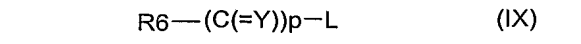
iii) deprotecting the compound of formula (VII) to produce a compound formula (VIII),



(VIII)

where all symbols are as defined earlier and

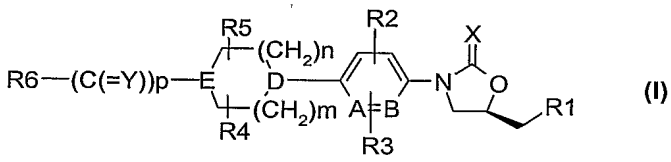
iv) reacting the compound of formula (VIII) with a compound of formula (IX)



$$R6—(C(=Y))p—L \qquad (IX)$$

wherein all symbols are as defined earlier and L is a leaving group to produce a compound of formula (I), where R^1 represents $-NHC(=Z)R^9$.

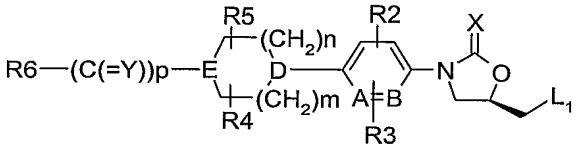
7. A process for the preparation of compound of the formula (I)



(I)

their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, wherein X and Y represent oxygen or sulfur; R^1 represents halogen, azido, nitro, cyano, substituted or unsubstituted group selected from TR^7, wherein T represents O or S; R^7 represents hydrogen, formyl, substituted or unsubstituted groups selected from (C_1-C_6)alkyl, cycloalkyl, aryl, aralkyl, acyl, thioacyl, heterocyclyl, heteroaryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl; or R^1 represents $N(R^{8a}R^{8b})$ where R^{8a} and R^{8b} may be same or different and independently represent hydrogen, formyl, substituted or unsubstituted groups selected from (C_1-C_6)alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl or an aminoacid residue which is attached through acid moiety; or R^{8a} and R^{8b} together with nitrogen may represent a mono or bicyclic saturated or unsaturated ring system which may contain one or more heteroatoms selected from O, S or N; A and B are different and represent CH or N; R^2 and R^3 may be same or different and independently represent hydrogen, halogen, hydroxy, alkyl, alkoxy; n is an integer of 0 or 1; m is an integer in the range of 1 to 4; D represents CH or N; E represents CH or N; R^4 and R^5 may be same or different and independently represent hydrogen, cyano, nitro, amino, halogen, hydroxyl, substituted or unsubstituted groups selected from (C_1-C_6)alkyl,

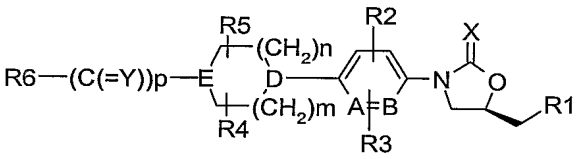
haloalkyl, (C_1-C_6)alkoxy, (C_1-C_6)alkylthio, (C_3-C_6)cycloalkyl or either of R^4 or R^5 represent an oxo or thiooxo group; p is an integer of 1; R^6 represents a substituted or unsubstituted groups selected from aryl, cycloalkyl, aralkyl, heteroaryl, heteroaralkyl, heteroaralkenyl, heterocyclyl, heterocycloalkyl, heterocycloalkenyl, which comprises reacting the compound of formula (XI)



(XI)

where L^1 represents a leaving group such as mesylate, tosylate or triflate with R^7YH or $NH(R^{8a}R^{8b})$ where all symbols are as defined earlier.

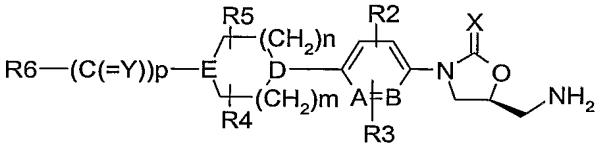
8. A process for the preparation of compound of the formula (I)



(I)

their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, wherein X and Y represent oxygen or sulfur; R^1 represents $-NHS(O)_r(C_1$-$C_4)$alkyl, $-NHS(O)_r$aralkyl or $-NHS(O)_r$heteroaralkyl, where r is 0 to 2; A and B are different and represent CH or N; R^2 and R^3 may be same or different and independently represent hydrogen, halogen, hydroxy, alkyl, alkoxy; n is an integer of 0 or 1; m is an integer in the range of 1 to 4; D represents CH or N; E represents CH or N; R^4 and R^5 may be same or different and independently represent hydrogen, cyano, nitro, amino, halogen, hydroxyl, substituted or unsubstituted groups selected from (C_1-C_6)alkyl, haloalkyl, (C_1-C_6)alkoxy, (C_1-C_6)alkylthio, (C_3-C_6)cycloalkyl or either of R^4 or R^5 represent an oxo or thiooxo group; p is an integer of 1; R^6 represents a substituted or unsubstituted groups selected from aryl, cycloalkyl, aralkyl, heteroaryl, heteroaralkyl,

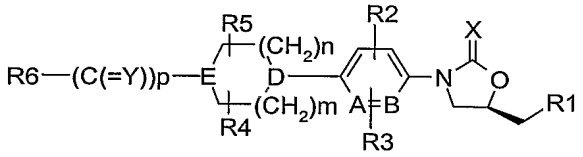
heteroaralkenyl, heterocyclyl, heterocycloalkyl, heterocycloalkenyl, which comprises reacting the compound of formula (XII)



(XII)

where all symbols are as defined earlier which represents compounds of formula (I), R^1 represents $N(R^{8a}R^{8b})$ where R^{8a} and R^{8b} represent hydrogen, with $R'SO_2Cl$ where R' represents $(C_1\text{-}C_4)$alkyl, aralkyl or heteroaralkyl group.

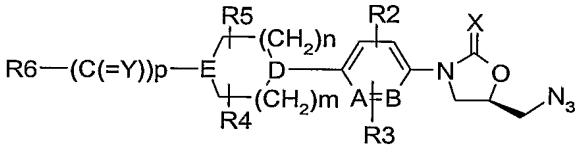
9. A process for the preparation of compound of the formula (I)



(I)

their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, wherein X and Y represent oxygen or sulfur; R^1 represents the formula $-NHC(=Z)R^9$ wherein Z represents S, R^9 is hydrogen, substituted or unsubstituted groups selected from $(C_1\text{-}C_6)$alkyl, $(C_1\text{-}C_6)$alkoxy, aryl, $(C_3\text{-}C_6)$cycloalkyl, amino, heteroaryl, heterocyclyl, heteroaralkyl, or R^9 represents $N(R^{10}R^{11})$, wherein R^{10} and R^{11} may be same or different and represent hydrogen, substituted or unsubstituted groups selected from alkyl, cycloalkyl, aryl, alkylcarbonyl, arylcarbonyl, cycloalkylcarbonyl, heteroaryl, heteroarylcarbonyl and the like; A and B are different and represent CH or N; R^2 and R^3 may be same or different and independently represent hydrogen, halogen, hydroxy, alkyl, alkoxy; n is an integer of 0 or 1; m is an integer in the range of 1 to 4; D represents CH or N; E represents CH or N; R^4 and R^5 may be same or different and independently represent hydrogen, cyano, nitro, amino, halogen, hydroxyl, substituted or unsubstituted groups selected from $(C_1\text{-}C_6)$alkyl, haloalkyl, $(C_1\text{-}C_6)$alkoxy,

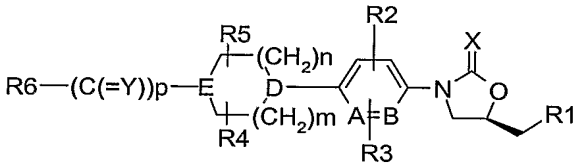
(C_1-C_6)alkylthio, (C_3-C_6)cycloalkyl or either of R^4 or R^5 represent an oxo or thiooxo group; p is an integer of 1; R^6 represents a substituted or unsubstituted groups selected from aryl, cycloalkyl, aralkyl, heteroaryl, heteroaralkyl, heteroaralkenyl, heterocyclyl, heterocycloalkyl, heterocycloalkenyl, which comprises, which comprises reacting the compound of formula (XIII)



(XIII)

where all symbols are as defined earlier which represents compound of formula (I) where R^1 represents azido with thioacetic acid to produce compound of formula (I) as defined above.

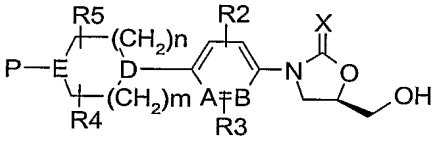
10. A process for the preparation of compound of the formula (I)



(I)

where R^1 represents the formula – NHC(=Z)R^9; where Z is O, R^9 and all other symbols are as defined in claim 1 to compounds of formula (I) where R^1 represents the formula – NHC(=Z)R^9; where Z is S, R^9 and all other symbols are as defined in claim 1.

11. A process for the preparation of compound of the formula (III)

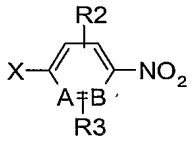


(III)

their derivatives, their analogs, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, wherein P represents protecting group, X represents oxygen or sulfur; Y represents O or S; A and B are different and represent CH or N; R^2 and R^3 may be same or different and independently represent hydrogen, halogen, hydroxy, alkyl,

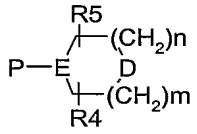
alkoxy; n is an integer of 0 or 1; m is an integer in the range of 1 to 4; D represents CH or N; E represents CH or N; R^4 and R^5 may be same or different and independently represent hydrogen, cyano, nitro, amino, halogen, hydroxyl, substituted or unsubstituted groups selected from $(C_1\text{-}C_6)$alkyl, haloalkyl, $(C_1\text{-}C_6)$alkoxy, $(C_1\text{-}C_6)$alkylthio, $(C_3\text{-}C_6)$cycloalkyl or either of R^4 or R^5 represent an oxo or thiooxo group; which comprises :

i) reacting the compound of formula (IIIa)



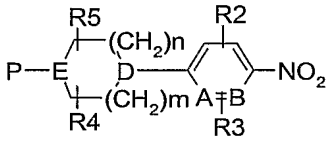
(IIIa)

where X represents halogen atom and all other symbols are as defined earlier, with compound of formula (IIIb)



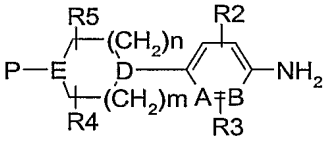
(IIIb)

where P represents protecting group and all other symbols are as defined earlier, to produce compound of formula (IIIc)



(IIIc)

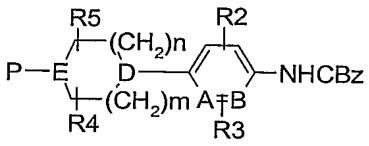
ii) reducing the compound of formula (IIIc) to produce a compound of formula (IIId)



(IIId)

wherein all symbols are as defined earlier,

iii) converting the compound of formula (IIId) to produce compound of formula (IIIe)

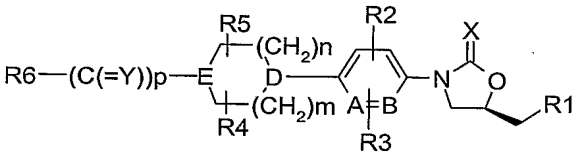


(IIIe)

where all symbols are as defined earlier,

iv) cyclizing the compound of formula (IIIe) with R-(-)-glycidyl butyrate to produce a compound of formula (III) where all symbols are as defined earlier.

12. A pharmaceutical composition, which comprises a compound of formula (I)



(I)

as defined in claim 1 and a pharmaceutically acceptable carrier, diluent, excipient or solvate.

13. A pharmaceutical composition as claimed in claim 12, in the form of a tablet, capsule, powder, syrup, solution, aerosol or suspension.

14. A method of treating or preventing an infectious disorder in a human or animal, comprising administering an effective amount of a compound of claim 1 to human or animal in need thereof.

15. A method as claimed in claim 14, wherein the infectious disorder is caused by bacteria.

16. A method of treating or preventing an infectious disorder in a human or animal, comprising administering an effective amount of a compound of claim 3 to human or animal in need thereof.

17. A method as claimed in claim 16, wherein the infectious disorder is caused by bacteria.

18. A method of treating or preventing an infectious disorder in a human or animal, comprising administering a composition as claimed in claim 12 to human or animal in need thereof.

19. A method as claimed in claim 18, wherein the infectious disorder is caused by bacteria.